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Biomed Mass Spectrom. 1976 Aug;3(4):191-5. The **use** of N-succinyl derivatives in the study of amino acids and peptides by mass spectrometry. ...

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**Bacterial distribution of the use of succinyl and acetyl blocking ...**

J Bacteriol. 1970 Jan;101(1):323-4. Bacterial distribution of the **use** of succinyl and acetyl blocking groups in diaminopimelic acid biosynthesis. ...

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[PDF] **Chemistry 527 Answers to Problem Set 10**

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... Problem Set 10 due May 11, 2004 a. Write down the names and structures of each intermediate between aspartate and O-succinyl- homoserine. **Use** the information ...

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... of pig heart GTP-specific SCS were soaked with the nonhydrolyzable **succinyl**-CoA analogue ... **Use** of the Advanced Photon Source was supported by the US Department of ...

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**succinyl**-CoA Synonyms: (Hydroxymethylphenyl)**succinyl**-CoA; ...

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... 1970 January; 101 (1): 323 324 Bacterial Distribution of the **Use** of **Succinyl** and Acetyl Blocking Groups in Diaminopimelic Acid Biosynthesis. ...

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**BIOCHEMISTRY II**

... d) plants **use** glutamic acid coupled to tRNA as a starting substrate. e) animals **use** glycine and **succinyl**-CoA as starting substrates. 10. ...

[www.ksu.edu/bchem/courses/BIOCH765/LD/old\\_exams/samplex88.htm](http://www.ksu.edu/bchem/courses/BIOCH765/LD/old_exams/samplex88.htm) - 17k - [Cached](#) - [Similar pages](#)

**Fraser - Division of Biochemistry - About - Dept of Bio Sci ...**

... citric acid cycle, SCS catalyzes the reaction that uses a molecule of **succinyl**-CoA and ... some forms of SCS can **use** either ADP or GDP while other forms can **use** ...

[www.bio.ucalgary.ca/divisions/biochem/fraser.html](http://www.bio.ucalgary.ca/divisions/biochem/fraser.html) - 31k - Oct 24, 2004 - [Cached](#) - [Similar pages](#)

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... "); // global background. Ask An Avanti Scientist Product Number: Product Name:



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... Types. In a **hydrolysis** reaction that involves breaking an ester link, one **hydrolysis** product contains a hydroxyl ... release of coenzyme A by **succinyl-CoA synthetase** ...  
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**Hydrolysis of succinyl-trialanine p-nitroanilide by two enzymes** ...

1983 Oct 15;226(2):629-35. **Hydrolysis of succinyl-trialanine p-nitroanilide** by two enzymes associated with human high-density lipoproteins. ...  
[www.ncbi.nlm.nih.gov/entrez/query.fcgi?cmd=Retrieve&db=PubMed&list\\_uids=6357093&dopt=Abstract](http://www.ncbi.nlm.nih.gov/entrez/query.fcgi?cmd=Retrieve&db=PubMed&list_uids=6357093&dopt=Abstract) - [Similar pages](#)

**[Solid-state enzymatic reactions. II. Chymotrypsin hydrolysis of N ...**

... II. Chymotrypsin **hydrolysis** of N-**succinyl**-L-phenylalanine n-nitroanilide]  
 [Article in Russian] Khurgin Iul, Medvedeva PV, Rosliakov Vla. ...  
[www.ncbi.nlm.nih.gov/entrez/query.fcgi?cmd=Retrieve&db=PubMed&list\\_uids=588602&dopt=Abstract](http://www.ncbi.nlm.nih.gov/entrez/query.fcgi?cmd=Retrieve&db=PubMed&list_uids=588602&dopt=Abstract) - [Similar pages](#)  
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[www.jbc.org/cgi/framedreprint/235/9/2638](http://www.jbc.org/cgi/framedreprint/235/9/2638) - [Similar pages](#)

**Citric Acid Cycle Reactions**

... dehydrogenase complex. Reaction 6 Chime in new window. Reaction 7: **Hydrolysis of Succinyl CoA**; Synthesis of ATP. The **hydrolysis** of ...  
[www.elmhurst.edu/~chm/vchembook/611citricx.html](http://www.elmhurst.edu/~chm/vchembook/611citricx.html) - 20k - [Cached](#) - [Similar pages](#)

**KE0026 Biochemistry Exercises**

... by **succinyl CoA synthetase**. The DG° for **hydrolysis of succinyl CoA** is about -8 kcal/mol, comparable with that of ATP (or GTP). ...  
[xray.bmc.uu.se/Courses/Bke1/Exercises/Exercise\\_answers/Exercise\\_answers9.html](http://xray.bmc.uu.se/Courses/Bke1/Exercises/Exercise_answers/Exercise_answers9.html) - 10k - [Cached](#) - [Similar pages](#)

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... [3] is a bacterial enzyme that during aerobic metabolism functions in the citric acid cycle, coupling the **hydrolysis of succinyl-CoA** to the synthesis of ATP. ...  
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... During aerobic metabolism it functions in the citric acid cycle, coupling the **hydrolysis of succinyl-CoA** to the synthesis of ATP & thus represents an important ...  
[www.stdgen.lanl.gov/cgi-bin/gene\\_id\\_search.cgi?dbname=ngon&gene\\_id=NG0912](http://www.stdgen.lanl.gov/cgi-bin/gene_id_search.cgi?dbname=ngon&gene_id=NG0912) - 17k - [Cached](#) - [Similar pages](#)

**Citric Acid Cycle**

... GDP. See Fig. 19-15. **Hydrolysis of succinyl-CoA** -7.7 kCal/mol, -32.6 kJ/mol. Synthesis of GTP -7.4 kCal/mol - efficient! One acetyl ...  
[opbs.okstate.edu/~leach/Bioch5853/Text/Notes/Ch19V&V.html](http://opbs.okstate.edu/~leach/Bioch5853/Text/Notes/Ch19V&V.html) - 17k - [Cached](#) - [Similar pages](#)

**Krebs**

... Catalyzed by Succinic Thiokinase (**Succinyl-CoA Synthetase**). The **hydrolysis** of the thiolester of **Succinyl-CoA** yields the energy needed to produce GTP: ...  
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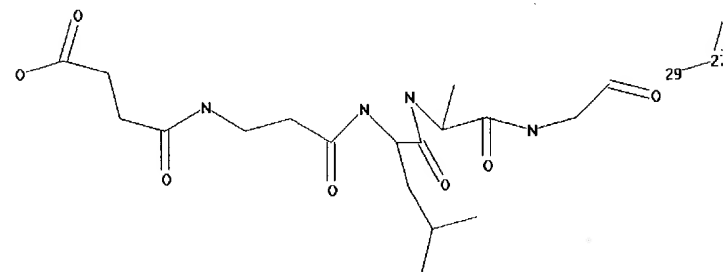
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chain nodes :  
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20  
21 22 23 24 25 26 27 28 29  
chain bonds :  
1-3 1-2 3-4 4-5 5-6 5-7 6-8 6-17 9-14 9-10 9-18 10-11 11-  
12 11-13 14-15 15-16 15-20 17-18 18-19 20-21 21-22 22-23  
23-24 23-25 25-26 26-27 27-28 27-29  
exact/norm bonds :  
1-2 3-4 4-5 5-7 6-17 9-14 14-15 15-16 17-18 18-19 21-22  
22-23 23-24 27-28 27-29  
exact bonds :  
1-3 5-6 6-8 9-10 9-18 10-11 11-12 11-13 15-20 20-21 23-25  
25-26 26-27

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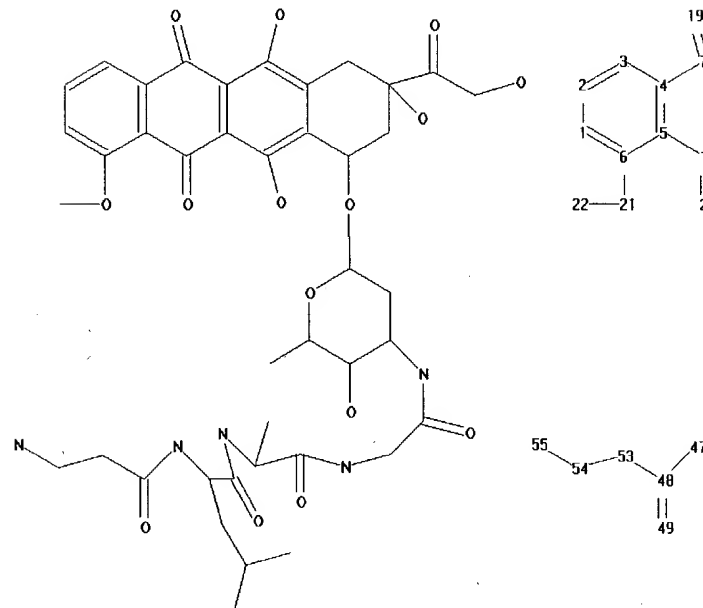
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42 43 44 45 46 47 48 49 50 51 52 53 54 55 56 57 58  
59 60 61  
ring nodes :  
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 25 26  
27 28 29 30  
chain bonds :  
6-21 7-19 10-20 11-61 14-23 16-56 16-57 18-24 21-22 24-28  
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11-12 12-13 12-15 13-14 13-18 15-16 16-17 17-18 25-26 25-30

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15-16 16-17 16-57 17-18 18-24 21-22 24-28 25-26 25-30 25-32  
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39-50 42-47 47-48 48-49 50-51 51-52 54-55 56-58 59-60  
exact bonds :  
16-56 26-33 34-36 38-39 39-41 42-43 42-51 43-44 44-45 44-46  
48-53 53-54 56-59  
normalized bonds :  
1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-11 9-14 11-12 12-13 13-14

Match level :  
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom  
9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom  
17:Atom 18:Atom 19:CLASS 20:CLASS 21:CLASS 22:CLASS 23:CLASS  
24:CLASS 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom  
31:CLASS 32:CLASS 33:CLASS 34:CLASS 35:CLASS 36:CLASS 37:CLASS  
38:CLASS 39:CLASS 40:CLASS 41:CLASS 42:CLASS 43:CLASS 44:CLASS  
45:CLASS 46:CLASS 47:CLASS 48:CLASS 49:CLASS 50:CLASS 51:CLASS  
52:CLASS 53:CLASS 54:CLASS 55:CLASS 56:CLASS 57:CLASS 58:CLASS  
59:CLASS 60:CLASS 61:CLASS

## L2 STRUCTURE UPLOADED

=> s 11 sam  
SAMPLE SEARCH INITIATED 12:29:02 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 10098 TO ITERATE

9.9% PROCESSED 1000 ITERATIONS

ANSWERS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 195939 TO 207981  
PROJECTED ANSWERS: 0 TO 0

## L3 0 SEA SSS SAM L1

=> s 11 fam  
SAMPLE SEARCH INITIATED 12:29:08 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 148 TO ITERATE

100.0% PROCESSED 148 ITERATIONS

ANSWERS  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 2231 TO 3689  
PROJECTED ANSWERS: 0 TO 0

## L10 4 L5 AND L8

=> 18 not 110

L11 7 L8 NOT L10

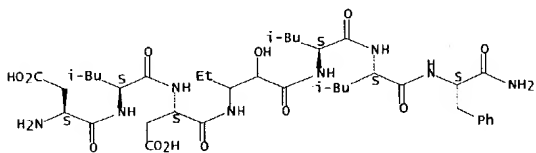
=> 19 or 110 or 111

L12 24 L9 OR L10 OR L11

=> d 112 1-24 ide ibib

L12 ANSWER 1 OF 24 REGISTRY COPYRIGHT 2004 ACS on STN  
RN 501366-48-9 REGISTRY  
CN L-Phenylalaninamide, L- $\alpha$ -aspartyl-L-leucyl-L- $\alpha$ -aspartyl-3-  
amino-2-hydroxypentanoyl-L-leucyl-L-leucyl- (9CI) (CA INDEX  
NAME)  
FS PROTEIN SEQUENCE; STEREOSEARCH  
MF C40 H64 N8 O12  
SR CA  
LC STN Files: CA, CAPLUS, CASREACT  
DT.CA Caplus document type: Journal  
RL.NP Roles from non-patents: BIOL (Biological study); CMBI  
(Combinatorial study); PREP (Preparation)

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

## REFERENCE 1

ACCESSION NUMBER: 138:238425 CA Full-text  
TITLE: Solid-Phase Combinatorial Library of  
Norstatine-Type  
Isosters by the Nitroaldol Reaction  
AUTHOR(S): Willert, Marianne; Benito, Juan M.; Meldal,  
Morten  
CORPORATE SOURCE: Department of Chemistry, Carlsberg  
Laboratory, Valby, DK-2500, Den.

## L4 0 SEA FAM SAM L1

=> s 11 ful  
FULL SEARCH INITIATED 12:29:15 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 203961 TO ITERATE

100.0% PROCESSED 203961 ITERATIONS

ANSWERS  
SEARCH TIME: 00.00.05

## L5 17 SEA SSS FUL L1

=> s 12 sam  
SAMPLE SEARCH INITIATED 12:29:45 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 6 TO ITERATE

100.0% PROCESSED 6 ITERATIONS

ANSWERS  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 6 TO 266  
PROJECTED ANSWERS: 1 TO 80

## L6 1 SEA SSS SAM L2

=> s 12 fam  
SAMPLE SEARCH INITIATED 12:29:50 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 2 TO ITERATE

100.0% PROCESSED 2 ITERATIONS

ANSWERS  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 2 TO 124  
PROJECTED ANSWERS: 0 TO 0

## L7 0 SEA FAM SAM L2

=> s 12 ful  
FULL SEARCH INITIATED 12:29:54 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 125 TO ITERATE

100.0% PROCESSED 125 ITERATIONS

ANSWERS  
SEARCH TIME: 00.00.01

## L8 11 SEA SSS FUL L2

=> 15 not 18

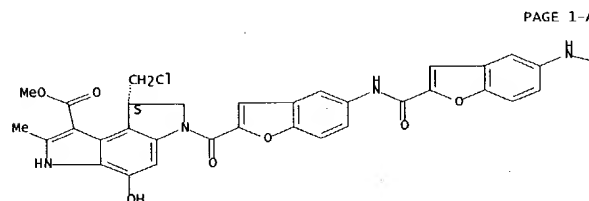
L9 13 L5 NOT L8

=> 15 and 18

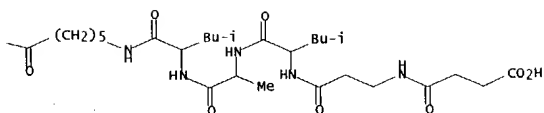
SOURCE: Journal of Combinatorial Chemistry (2003),  
5(2), 91-101  
CODEN: JCCHFF; ISSN: 1520-4766  
PUBLISHER: American Chemical Society  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES  
AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE  
RE FORMAT

L12 ANSWER 2 OF 24 REGISTRY COPYRIGHT 2004 ACS on STN  
RN 477328-64-6 REGISTRY  
CN Leucinamide, N-(3-carboxy-1-oxopropyl)- $\beta$ -alanyl-leucylalanyl-N-  
[6-[[[2-[[[15]-1-(chloromethyl)-1,6-dihydro-5-hydroxy-8-  
(methoxycarbonyl)-7-  
methylbenzo[1,2-b:4,3-b']dipyrrol-3(2H)-yl]carbonyl]-5-  
benzofuranyl]amino]carbonyl]-5-benzofuranyl]amino]-6-oxohexyl]-  
(9CI) (CA INDEX NAME)  
FS PROTEIN SEQUENCE; STEREOSEARCH  
MF C60 H72 Cl N9 O15  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL  
DT.CA Caplus document type: Patent  
RL.P Roles from patents: BIOL (Biological study); PREP  
(Preparation); USES  
(Uses)

Absolute stereochemistry.



PAGE 1-A



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

## REFERENCE 1

ACCESSION NUMBER: 138:4470 CA Full-text  
TITLE: Preparation of duocarmycin analogs as potent cytotoxins  
INVENTOR(S): Ng, Howard P.; McGee, Danny P. C.; Wu, Guoxian; Li, Zhihong; Gangwar, Sanjeev; Saunders, Oliver L.; Martichonok, Valeri; Astafieva, Irina; Moore, Jimmie; Yarranton, Geoffrey Thomas; King, David J.; Boyd, Sharon; Lobl, Thomas J.  
PATENT ASSIGNEE(S): Coulter Pharmaceutical, Inc., A Wholly Owned Subsidiary of Corixa Corporation, USA  
SOURCE: PCT Int. Appl., 118 pp.  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002096910	A1	20021205	WO 2002-US17210	20020531
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
US 2003050331 A1 20030313 US 2002-160972 20020531  
US 2003064984 A1 20030403 US 2002-161234 20020531  
US 2003073852 A1 20030417 US 2002-161233 20020531  
NZ 529788 A 20031219 NZ 2002-529788 20020531  
EP 1434778 A1 20040707 EP 2002-731994 20020531  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

PRIORITY APPLN. INFO.:  
US 2001-295196P 20010531  
US 2001-295259P 20010531  
US 2001-295342P 20010531  
US 2001-304908P 20010711  
WO 2002-US17210 20020531

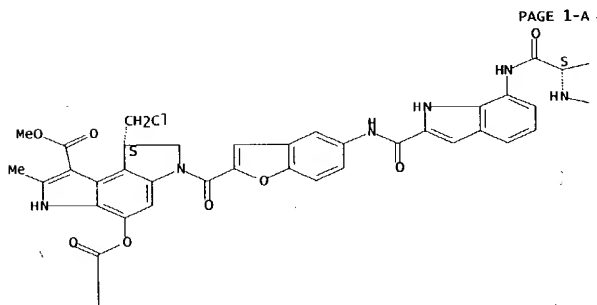
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE

## RE FORMAT

L12 ANSWER 3 OF 24 REGISTRY COPYRIGHT 2004 ACS on STN  
RN 477209-66-8 REGISTRY  
CN L-Leucinamide, N-(3-carboxy-1-oxopropyl)-β-alanyl-L-leucyl-L-alanyl-N-[[[2-[[[2-[[[1S]-1-(chloromethyl)-1,6-dihydro-8-(methoxycarbonyl)-7-methyl-5-[[[4-methyl-1-piperazinyl]carbonyl]oxy]benzo[1,2-b:4,3-b']dipyrrrol-3(2H)-yl]carbonyl]-5-benzofuranyl]amino]carbonyl]-1H-indol-7-yl]]-(9CI) (CA  
INDEX NAME)  
FS PROTEIN SEQUENCE; STEREOSEARCH  
MF C60 H72 Cl N11 O14  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER, USP2T, USPATFULL  
DT.CA CAplus document type: Patent  
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.

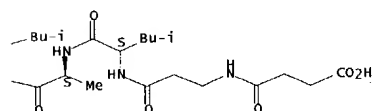


ACCESSION NUMBER: 138:4470 CA Full-text  
TITLE: Preparation of duocarmycin analogs as potent cytotoxins  
INVENTOR(S): Ng, Howard P.; McGee, Danny P. C.; Wu, Guoxian; Li, Zhihong; Gangwar, Sanjeev; Saunders, Oliver L.; Martichonok, Valeri; Astafieva, Irina; Moore, Jimmie; Yarranton, Geoffrey Thomas; King, David J.; Boyd, Sharon; Lobl, Thomas J.  
PATENT ASSIGNEE(S): Coulter Pharmaceutical, Inc., A Wholly Owned Subsidiary of Corixa Corporation, USA  
SOURCE: PCT Int. Appl., 118 pp.  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002096910	A1	20021205	WO 2002-US17210	20020531
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
US 2003050331 A1 20030313 US 2002-160972 20020531  
US 2003064984 A1 20030403 US 2002-161234 20020531  
US 2003073852 A1 20030417 US 2002-161233 20020531  
NZ 529788 A 20031219 NZ 2002-529788 20020531  
EP 1434778 A1 20040707 EP 2002-731994 20020531  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

PRIORITY APPLN. INFO.:  
US 2001-295196P 20010531  
US 2001-295259P 20010531  
US 2001-295342P 20010531  
US 2001-304908P 20010711  
WO 2002-US17210 20020531



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

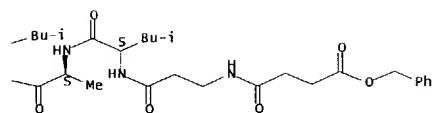
## REFERENCE 1

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 4 OF 24 REGISTRY COPYRIGHT 2004 ACS on STN  
RN 477209-63-5 REGISTRY  
CN L-Leucinamide, N-[1,4-dioxo-4-(phenylmethoxy)butyl]-β-alanyl-L-leucyl-L-alanyl-N-[2-[[[2-[[[1S]-1-(chloromethyl)-1,6-dihydro-8-(methoxycarbonyl)-7-methyl-5-[[[4-methyl-1-piperazinyl]carbonyl]oxy]benzo[1,2-b:4,3-b']dipyrrol-3(2H)-yl]carbonyl]-5-benzofuranyl]amino]carbonyl]-1H-indol-7-yl]- (9CI) (CA INDEX NAME)  
FS PROTEIN SEQUENCE; STEREOSEARCH  
MF C67 H78 Cl N11 O14  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER, USP22, USP2FULL  
DT.CA Caplus document type: Patent  
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.



PAGE 1-B

PAGE 2-A

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

#### REFERENCE 1

ACCESSION NUMBER: 138:4470 CA Full-text  
TITLE: Preparation of duocarmycin analogs as potent cytotoxins  
INVENTOR(S): Ng, Howard P.; McGee, Danny P. C.; Wu, Zhihong; Gangwar, Sanjeev; Saunders, Oliver L.;  
Moore, Jimmie; Martichonok, Valeri; Astafieva, Irina; Boyd, Yarranton, Geoffrey Thomas; King, David J.;  
Sharon; Lobl, Thomas J.  
PATENT ASSIGNEE(S): Coulter Pharmaceutical, Inc., A wholly Owned Subsidiary of Corixa Corporation, USA  
SOURCE: PCT Int. Appl., 118 pp.  
DOCUMENT TYPE: CODEN: PIXXD2  
LANGUAGE: Patent  
FAMILY ACC. NUM. COUNT: English  
PATENT INFORMATION: 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002096910	A1	20021205	WO 2002-US17210	20020531

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
US 2003050331 A1 20030313 US 2002-160972 20020531  
US 2003064984 A1 20030403 US 2002-161234 20020531  
US 2003073852 A1 20030417 US 2002-161233 20020531  
NZ 529788 A 20031219 NZ 2002-529788 20020531  
EP 1434778 A1 20040707 EP 2002-731994 20020531  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR  
PRIORITY APPLN. INFO.: US 2001-295196P 20010531  
US 2001-295259P 20010531  
US 2001-295342P 20010531  
US 2001-304908P 20010711  
WO 2002-US17210 20020531

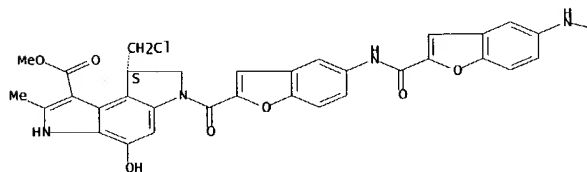
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 5 OF 24 REGISTRY COPYRIGHT 2004 ACS on STN  
RN 477209-56-6 REGISTRY  
CN L-Leucinamide, N-(3-carboxy-1-oxopropyl)-β-alanyl-L-leucyl-L-alanyl-N-[2-[[[2-[[[1S]-1-(chloromethyl)-1,6-dihydro-5-hydroxy-8-(methoxycarbonyl)-7-methylbenzo[1,2-b:4,3-b']dipyrrol-3(2H)-yl]carbonyl]-5-benzofuranyl]amino]carbonyl]- (9CI) (CA INDEX NAME)  
FS PROTEIN SEQUENCE; STEREOSEARCH  
MF C54 H61 Cl N8 O14  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER, USP22, USP2FULL  
DT.CA Caplus document type: Patent  
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

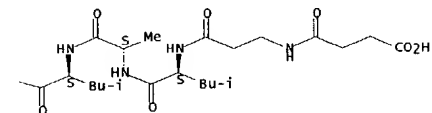
\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

#### REFERENCE 1

ACCESSION NUMBER: 138:4470 CA Full-text  
TITLE: Preparation of duocarmycin analogs as potent cytotoxins  
INVENTOR(S): Ng, Howard P.; McGee, Danny P. C.; Wu, Zhihong; Gangwar, Sanjeev; Saunders, Oliver L.;  
Moore, Jimmie; Martichonok, Valeri; Astafieva, Irina; Boyd, Yarranton, Geoffrey Thomas; King, David J.; Sharon; Lobl, Thomas J.

PATENT ASSIGNEE(S): Coulter Pharmaceutical, Inc., A wholly Owned  
Subsidiary of Corixa Corporation, USA  
SOURCE: PCT Int. Appl., 118 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002096910	A1	20021205	WO 2002-US17210	20020531
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003050331	A1	20030313	US 2002-160972	20020531
US 2003064984	A1	20030403	US 2002-161234	20020531
US 2003073852	A1	20030417	US 2002-161233	20020531
NZ 529788	A	20031219	NZ 2002-529788	20020531
EP 1434778	A1	20040707	EP 2002-731994	20020531
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.: US 2001-295196P 20010531  
US 2001-295259P 20010531  
US 2001-295342P 20010531  
US 2001-304908P 20010711  
WO 2002-US17210 20020531

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 6 OF 24 REGISTRY COPYRIGHT 2004 ACS on STN  
RN 477209-54-4 REGISTRY  
CN L-Leucinamide, N-(3-carboxy-1-oxopropyl)- $\beta$ -alanyl-L-leucyl-L-alanyl-N-  
[2-[[[2-[[[1S]-1-(chloromethyl)-5-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]-1,6-dihydro-8-(methoxycarbonyl)-7-methylbenzo[1,2-b:4,3-

ACCESSION NUMBER: 138:4470 CA Full-text  
TITLE: Preparation of duocarmycin analogs as potent cytotoxins  
INVENTOR(S): Ng, Howard P.; McGee, Danny P. C.; Wu, Guoxian; Li, Zhihong; Gangwar, Sanjeev; Saunders, Oliver L.; Martichonok, Valeri; Astafieva, Irina; Moore, Jimmie; Yarranton, Geoffrey Thomas; King, David J.; Boyd, Sharon; Lobl, Thomas J.  
PATENT ASSIGNEE(S): Coulter Pharmaceutical, Inc., A wholly Owned  
SUBSIDIARY OF CORIXA CORPORATION, USA  
SOURCE: PCT Int. Appl., 118 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002096910	A1	20021205	WO 2002-US17210	20020531
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
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US 2003050331	A1	20030313	US 2002-160972	20020531
US 2003064984	A1	20030403	US 2002-161234	20020531
US 2003073852	A1	20030417	US 2002-161233	20020531
NZ 529788	A	20031219	NZ 2002-529788	20020531
EP 1434778	A1	20040707	EP 2002-731994	20020531
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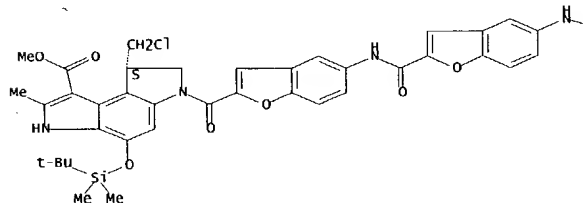
PRIORITY APPLN. INFO.: US 2001-295196P 20010531  
US 2001-295259P 20010531  
US 2001-295342P 20010531  
US 2001-304908P 20010711  
WO 2002-US17210 20020531

b']dipyrrol-3(2H)-yl[carbonyl]-5-benzofuranyl]amino]carbonyl]-5-benzofuranyl]-  
(9CI) (CA  
INDEX NAME)  
FS PROTEIN SEQUENCE; STEREOSEARCH  
MF C60 H75 Cl N8 O14 Si  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL  
DT.CA Caplus document type: Patent  
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

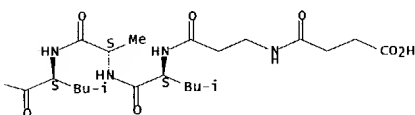
\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1

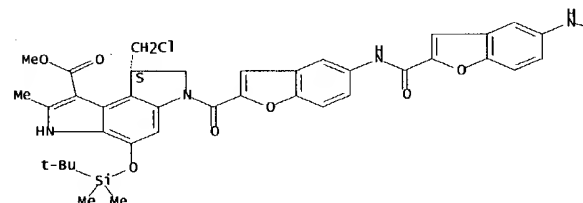
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 7 OF 24 REGISTRY COPYRIGHT 2004 ACS on STN  
RN 477209-52-2 REGISTRY  
CN L-Leucinamide, N-[1,4-dioxo-4-(phenylmethoxy)butyl]- $\beta$ -alanyl-L-leucyl-L-alanyl-N-  
[2-[[[2-[[[1S]-1-(chloromethyl)-5-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]-1,6-dihydro-8-(methoxycarbonyl)-7-methylbenzo[1,2-b:4,3-b']dipyrrol-3(2H)-yl]carbonyl]-5-benzofuranyl]amino]carbonyl]-5-benzofuranyl]- (9CI) (CA INDEX NAME)  
FS PROTEIN SEQUENCE; STEREOSEARCH  
MF C67 H81 Cl N8 O14 Si  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL  
DT.CA Caplus document type: Patent  
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

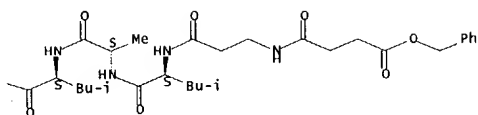
\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.

PAGE 1-A





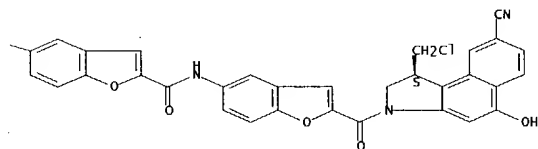


1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

## REFERENCE 1

ACCESSION NUMBER: 138:4470 CA Full-text  
TITLE: Preparation of duocarmycin analogs as potent cytotoxins  
INVENTOR(S): Ng, Howard P.; McGee, Danny P. C.; Wu, Guoxian; Li, Zhihong; Gangwar, Sanjeev; Saunders, Oliver  
L.; Martichonok, Valeri; Astafieva, Irina;  
Moore, Jimmie; Yarranton, Geoffrey Thomas; King, David J.;  
Boyd, Sharon; Lobl, Thomas J.  
PATENT ASSIGNEE(S): Coulter Pharmaceutical, Inc., A wholly Owned  
Subsidiary of Corixa Corporation, USA  
SOURCE: PCT Int. Appl., 118 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002096910	A1	20021205	WO 2002-US17210	20020531
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
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## \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

## REFERENCE 1

ACCESSION NUMBER: 138:4470 CA Full-text  
TITLE: Preparation of duocarmycin analogs as potent cytotoxins  
INVENTOR(S): Ng, Howard P.; McGee, Danny P. C.; Wu, Guoxian; Li, Zhihong; Gangwar, Sanjeev; Saunders, Oliver  
L.; Martichonok, Valeri; Astafieva, Irina;  
Moore, Jimmie; Yarranton, Geoffrey Thomas; King, David J.;  
Boyd, Sharon; Lobl, Thomas J.  
PATENT ASSIGNEE(S): Coulter Pharmaceutical, Inc., A wholly Owned  
Subsidiary of Corixa Corporation, USA  
SOURCE: PCT Int. Appl., 118 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002096910	A1	20021205	WO 2002-US17210	20020531
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ,				

SE, TR,

BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,

TD, TG

US 2003050331	A1	20030313	US 2002-160972	20020531
US 2003064984	A1	20030403	US 2002-161234	20020531
US 2003073852	A1	20030417	US 2002-161233	20020531
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EP 1434778	A1	20040707	EP 2002-731994	20020531

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE,

MC, PT,

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

PRIORITY APPLN. INFO.:

US 2001-295196P	20010531
US 2001-295259P	20010531
US 2001-295342P	20010531
US 2001-304908P	20010711
WO 2002-US17210	20020531

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE

FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE

RE FORMAT

L12 ANSWER 8 OF 24 REGISTRY COPYRIGHT 2004 ACS ON STN

RN 477209-22-6 REGISTRY

CN L-Leucinamide, N-[1,4-dioxo-4-(phenylmethoxy)butyl]-β-alanyl-L-leucyl-

L-alanyl-N-[2-[[[2-[[[(1S)-1-(chloromethyl)-8-cyano-1,2-dihydro-

5-hydroxy-3H-benz[e]indol-3-yl]carbonyl]-5-benzofuranyl]amino]carbonyl]-5-

benzofuranyl]- (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

MF C61 H63 Cl N8 O12

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USP2, USPTFULL

DT.CA Caplus document type: Patent

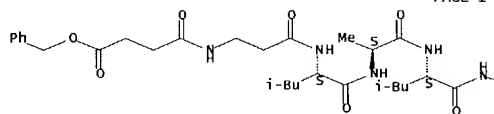
RL.P Roles from patents: BTOL (Biological study); PREP

(Preparation); USES

(Uses)

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.



OM, PH,

TT, TZ,

MD, RU,

BE, CH,

SE, TR,

TD, TG

US 2003050331	A1	20030313	US 2002-160972	20020531
US 2003064984	A1	20030403	US 2002-161234	20020531
US 2003073852	A1	20030417	US 2002-161233	20020531
NZ 529788	A	20031219	NZ 2002-529788	20020531
EP 1434778	A1	20040707	EP 2002-731994	20020531

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT,

MC, PT,

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

PRIORITY APPLN. INFO.:

US 2001-295196P	20010531
US 2001-295259P	20010531
US 2001-295342P	20010531
US 2001-304908P	20010711
WO 2002-US17210	20020531

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE

FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE

RE FORMAT

L12 ANSWER 9 OF 24 REGISTRY COPYRIGHT 2004 ACS ON STN

RN 372491-73-1 REGISTRY

CN 5,12-Naphthacenedione, 10-[[3-[[N-(3-carboxy-1-oxopropyl)-β-

alanyl-L-leucyl-L-alanyl-L-leucyl]amino]-2,3,6-trideoxy-α-L-lyxo-

hexopyranosyl]oxy]-7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-

(hydroxyacetyl)-1-methoxy-, monosodium salt, (8S,10S)- (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

MF C49 H65 N5 O18 . Na

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER

DT.CA Caplus document type: Journal

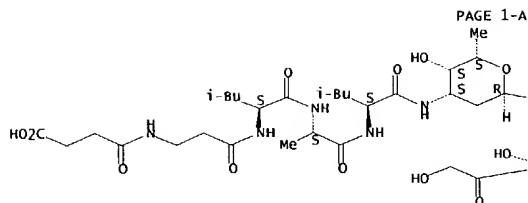
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(Preparation)

CRN (274912-87-7)

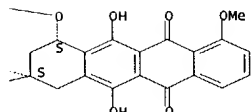
\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.



● Na

PAGE 1-B

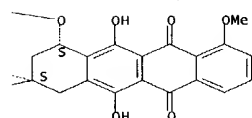


1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

#### REFERENCE 1

ACCESSION NUMBER: 135:362468 CA Full-text  
TITLE: N-succinyl-(β-alanyl-L-leucyl-L-alanyl-L-leucyl)doxorubicin: an extracellularly  
tumor-activated  
prodrug devoid of intravenous acute toxicity  
AUTHOR(S): Fernandez, Anne-Marie; Van derpoorten, Kim;  
Dasnois, Luc; Lebtahi, Karim; Dubois, Vincent; Lobl,  
Thomas J.;  
Gangwar, Sanjeev; Oliyai, Cecilia; Lewis,  
Evan R.;

PAGE 1-B



3 REFERENCES IN FILE CA (1907 TO DATE)  
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

#### REFERENCE 1

ACCESSION NUMBER: 138:29142 CA Full-text  
TITLE: CD10-activated prodrug compounds  
INVENTOR(S): Bebbington, Christopher R.; Nieder, Matthew  
H.;  
Cardarelli, Pina M.; Gangwar, Sanjeev;  
Pickford, Lesley B.; Pan, Chin  
PATENT ASSIGNEE(S): Medarex, Inc., USA  
SOURCE: PCT Int. Appl., 167 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002100353	A2	20021219	WO 2002-US21135	20020610
WO 2002100353	A3	20030522		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW			
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EP 1404356 A2 20040407 EP 2002-746852 20020611  
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MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR  
US 2004087497 A1 20040506 US 2002-167627 20020611  
PRIORITY APPLN. INFO.: US 2001-297596P 20010611  
WO 2002-US21135 20020611

#### REFERENCE 2

ACCESSION NUMBER: 137:284323 CA Full-text  
TITLE: Enzyme-cleavable prodrug compounds  
INVENTOR(S): Dubois, Vincent; Fernandez, Anne Marie;  
Gangwar, Sanjeev; Lewis, Evan; Lobl, Thomas J.;  
Nieder, Matthew H.; Pickford, Lesley B.; Trouet, Andre;  
Yarranton, Geoffrey T.  
PATENT ASSIGNEE(S): Belg.  
SOURCE: U.S. Pat. Appl. Publ., 86 pp., Cont.-in-part  
of Appl.  
No. PCT/US99/30393.  
CODEN: USXXCO  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 3  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002142955	A1	20021003	US 2001-879442	20010611
WO 2000033888	A2	20000615	WO 1999-US30393	19991210
WO 2000033888	A3	20011108		
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RW:	GH, GM, KE, LS, MW, SD, SL, SZ, YZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
PRIORITY APPLN. INFO.:			US 1998-111793P 19981211	
			US 1999-119312P 19990208	
			WO 1999-US30393 19991210	
			US 2000-211887P 20000614	
			US 2001-290448P 20010511	

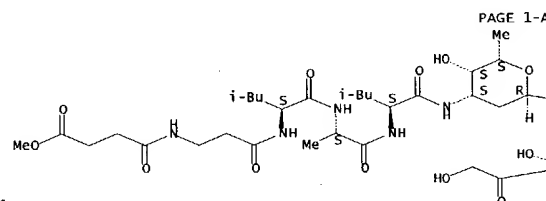
CORPORATE SOURCE: Shochat, Dan; Trouet, Andre  
Catholique de Laboratory of Cell Biology, Universite  
Louvain, Louvain-la-Neuve, B-1348, Belg.  
JOURNAL OF MEDICINAL CHEMISTRY (2001),  
3750-3753  
CODEN: JMCMAR; ISSN: 0022-2623  
PUBLISHER: American Chemical Society  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
REFERENCE COUNT: 13  
THERE ARE 13 CITED REFERENCES

RECORD. ALL CITATIONS AVAILABLE IN THE  
RE FORMAT

L12 ANSWER 10 OF 24 REGISTRY COPYRIGHT 2004 ACS ON STN  
RN 274913-07-4 REGISTRY  
CN 5,12-Naphthacenedione, 7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-  
(hydroxyacetyl)-1-methoxy-10-[[2,3,6-trideoxy-3-[[N-(4-methoxy-  
1,4-dioxobutyl)-β-alanyl-L-leucyl-L-alanyl-L-leucyl]amino]-α-L-lyxo-  
hexopyranosyl]oxy]-, (8S,10S)- (9CI) (CA INDEX NAME)  
FS PROTEIN SEQUENCE; STEREOSEARCH  
MF C50 H67 N5 O18  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL  
DT,CA: Caplus document type: Patent  
RL,P Roles from patents: BIOL (Biological study); PREP  
(Preparation); RACT  
(Reactant or reagent); USES (Uses)

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.



## REFERENCE 3

ACCESSION NUMBER: 133:48878 CA Full-text  
 TITLE: Oligopeptide prodrug compounds and process for preparation thereof  
 INVENTOR(S): Lobl, Thomas J.; Dubois, Vincent; Fernandez, Anne-Marie; Gangwar, Sanjeev; Lewis, Evan; Nieder, Matthew H.; Trouet, Andre; Viski, Peter; Yarranton, Geoffrey T.  
 PATENT ASSIGNEE(S): Coulter Pharmaceutical, Inc., USA  
 SOURCE: PCT Int. Appl., 125 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000033888	A2	20000615	WO 1999-US30393	19991210
WO 2000033888	A3	20011108		
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
EP 1144011	A2	20011017	EP 1999-967462	19991210
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R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
JP 2003518000	T2	20030603	JP 2000-586378	19991210
AU 773420	B2	20040527	AU 2000-23733	19991210
US 2002142955	A1	20021003	US 2001-879442	20010611
PRIORITY APPLN. INFO.:			US 1998-111793P	19981211
			US 1999-119312P	19990208
			WO 1999-US30393	19991210
			US 2000-211887P	20000614
			US 2001-290448P	20010511

L12 ANSWER 11 OF 24 REGISTRY COPYRIGHT 2004 ACS on STN  
 RN 274913-06-3 REGISTRY

3 REFERENCES IN FILE CA (1907 TO DATE)  
 3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

## REFERENCE 1

ACCESSION NUMBER: 137:284323 CA Full-text  
 TITLE: Enzyme-cleavable prodrug compounds  
 INVENTOR(S): Dubois, Vincent; Fernandez, Anne Marie; Gangwar, Sanjeev; Lewis, Evan; Lobl, Thomas J.; Nieder, Matthew H.; Pickford, Lesley B.; Trouet, Andre; Yarranton, Geoffrey T.  
 PATENT ASSIGNEE(S): Belg.  
 SOURCE: U.S. Pat. Appl. Publ., 86 pp., Cont.-in-part of Appl.  
 DOCUMENT TYPE: No. PCT/US99/30393.  
 CODEN: USXXCO  
 Patent  
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 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002142955	A1	20021003	US 2001-879442	20010611
WO 2000033888	A2	20000615	WO 1999-US30393	19991210
WO 2000033888	A3	20011108		
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
PRIORITY APPLN. INFO.:			US 1998-111793P	19981211
			US 1999-119312P	19990208
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			US 2001-290448P	20010511

## REFERENCE 2

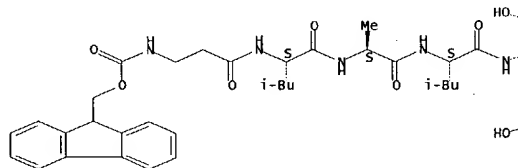
ACCESSION NUMBER: 135:362468 CA Full-text  
 TITLE: N-succinyl-( $\beta$ -alanyl-L-leucyl-L-alanyl-L-

CN 5,12-Naphthacenedione, 7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(hydroxyacetyl)-1-methoxy-10-[[[2,3,6-trideoxy-3-[[N-[(9H-fluoren-9-ylmethoxy)carbonyl]- $\beta$ -alanyl-L-leucyl-L-alanyl-L-leucyl]amino]- $\alpha$ -L-lyxo-hexopyranosyl]oxy]-, (8S,10S)- (9CI) (CA INDEX NAME)  
 FS PROTEIN SEQUENCE; STEREOSEARCH  
 MF C60 H71 N5 O17  
 SR CA  
 LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL  
 DT.CA Caplus document type: Journal; Patent  
 RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)  
 RL.NP Roles from non-patents: PREP (Preparation); RACT (Reactant or reagent)

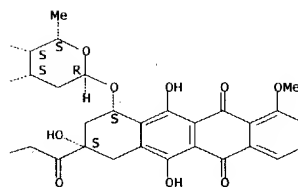
\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



tumor-activated

AUTHOR(S): Dasnois, Luc; Lebtahi, Karim; Dubois, Vincent; Lobl, Thomas J.; Evan R.;  
 CORPORATE SOURCE: Shochat, Dan; Trouet, Andre  
 Catholique de Laboratory of Cell Biology, Universite  
 Louvain, Louvain-la-Neuve, B-1348, Belg.  
 SOURCE: Journal of Medicinal Chemistry (2001),  
 44(22), 3750-3753  
 CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 REFERENCE COUNT: 13  
 AVAILABLE FOR THIS

leucyl)doxorubicin: an extracellularly

prodrug devoid of intravenous acute toxicity  
 Fernandez, Anne-Marie; Van derpoorten, Kim;  
 Luc; Lebtahi, Karim; Dubois, Vincent; Lobl, Thomas J.; Evan R.;  
 Gangwar, Sanjeev; Oliyai, Cecilia; Lewis, Shochat, Dan; Trouet, Andre  
 Laboratory of Cell Biology, Universite  
 Louvain, Louvain-la-Neuve, B-1348, Belg.  
 SOURCE: Journal of Medicinal Chemistry (2001),  
 44(22), 3750-3753  
 CODEN: JMCMAR; ISSN: 0022-2623

AMERICAN CHEMICAL SOCIETY  
 JOURNAL  
 ENGLISH  
 13 THERE ARE 13 CITED REFERENCES

RECORD. ALL CITATIONS AVAILABLE IN THE

RE FORMAT

## REFERENCE 3

ACCESSION NUMBER: 133:48878 CA Full-text  
 TITLE: Oligopeptide prodrug compounds and process for preparation thereof  
 INVENTOR(S): Lobl, Thomas J.; Dubois, Vincent; Fernandez, Anne-Marie; Gangwar, Sanjeev; Lewis, Evan; Nieder, Matthew H.; Trouet, Andre; Viski, Peter; Yarranton, Geoffrey T.  
 PATENT ASSIGNEE(S): Coulter Pharmaceutical, Inc., USA  
 SOURCE: PCT Int. Appl., 125 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000033888	A2	20000615	WO 1999-US30393	19991210
WO 2000033888	A3	20011108		
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK,			

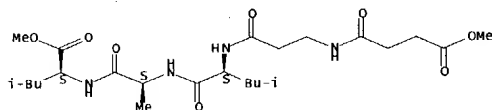
SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW,  
AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH,  
CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF,  
BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  
EP 1144011 A2 20011017 EP 1999-967462 19991210  
EP 1144011 A3 20020206  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE,  
MC, PT, IE, SI, LT, LV, FI, RO  
JP 2003518000 T2 20030603 JP 2000-586378 19991210  
AU 773420 B2 20040527 AU 2000-23733 19991210  
US 2002142955 A1 20021003 US 2001-879442 20010611  
US 1998-111793P 19981211  
US 1999-119312P 19990208  
WO 1999-US30393 19991210  
US 2000-211887P 20000614  
US 2001-290448P 20010511  
PRIORITY APPLN. INFO.:

L12 ANSWER 12 OF 24 REGISTRY COPYRIGHT 2004 ACS on STN  
RN 274913-05-2 REGISTRY

CN L-Leucine, N-(4-methoxy-1,4-dioxobutyl)- $\beta$ -alanyl-L-leucyl-L-alanyl-  
methyl ester (9Ci) (CA INDEX NAME)  
FS PROTEIN SEQUENCE; STEREOSEARCH  
MF C24 H42 N4 O8  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL  
DT.CA Caplus document type: Patent  
RL.P Roles from patents: BIOL (Biological study); PREP  
(Preparation); USES  
(Uses)

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.



2 REFERENCES IN FILE CA (1907 TO DATE)  
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1

ACCESSION NUMBER: 137:284323 CA Full-text

PATENT ASSIGNEE(S): Coulter Pharmaceutical, Inc., USA  
SOURCE: PCT Int. Appl., 125 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 3  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000033888	A2	20000615	WO 1999-US30393	19991210
WO 2000033888	A3	20011108		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
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EP 1144011	A2	20011017	EP 1999-967462	19991210
EP 1144011	A3	20020206		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2003518000	T2	20030603	JP 2000-586378	19991210
AU 773420	B2	20040527	AU 2000-23733	19991210
US 2002142955	A1	20021003	US 2001-879442	20010611
US 1998-111793P 19981211				
US 1999-119312P 19990208				
WO 1999-US30393 19991210				
US 2000-211887P 20000614				
US 2001-290448P 20010511				

PRIORITY APPLN. INFO.:

L12 ANSWER 13 OF 24 REGISTRY COPYRIGHT 2004 ACS on STN  
RN 274913-04-1 REGISTRY  
CN L-Leucine, N-(3-carboxy-1-oxopropyl)- $\beta$ -alanyl-L-leucyl-L-alanyl-  
(9Ci) (CA INDEX NAME)  
FS PROTEIN SEQUENCE; STEREOSEARCH  
MF C22 H38 N4 O8  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL  
DT.CA Caplus document type: Patent  
RL.P Roles from patents: BIOL (Biological study); PREP  
(Preparation); USES  
(Uses)  
RLD.P Roles for non-specific derivatives from patents: BIOL  
(Biological)

TITLE: Enzyme-cleavable prodrug compounds  
INVENTOR(S): Dubois, Vincent; Fernandez, Anne Marie;  
Gangwar, Sanjeev; Lewis, Evan; Lobl, Thomas J.;  
Nieder, Matthew H.; pickford, Lesley B.; Trouet, Andre;  
Yarranton, Geoffrey T.  
PATENT ASSIGNEE(S): Belg.  
SOURCE: U.S. Pat. Appl. Publ., 86 pp., Cont.-in-part  
of Appl. No. PCT/US99/30393.  
CODEN: USXXCO  
Patent  
English  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002142955	A1	20021003	US 2001-879442	20010611
WO 2000033888	A2	20000615	WO 1999-US30393	19991210
WO 2000033888	A3	20011108		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:				
US 1998-111793P 19981211				
US 1999-119312P 19990208				
WO 1999-US30393 19991210				
US 2000-211887P 20000614				
US 2001-290448P 20010511				

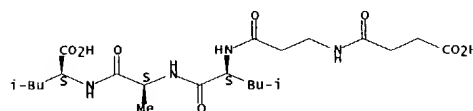
REFERENCE 2

ACCESSION NUMBER: 133:48878 CA Full-text  
TITLE: Oligopeptide prodrug compounds and process  
for  
preparation thereof  
INVENTOR(S): Lobl, Thomas J.; Dubois, Vincent; Fernandez,  
Anne-Marie; Gangwar, Sanjeev; Lewis, Evan;  
Nieder, Matthew H.; Trouet, Andre; Viski, Peter;  
Yarranton, Geoffrey T.

study); PRP (Properties); USES (Uses)

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.



3 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA  
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1

ACCESSION NUMBER: 141:289012 CA Full-text  
TITLE: Paclitaxel hybrid derivatives with improved  
properties  
for the treatment of cancer  
INVENTOR(S): Erhardt, Paul W.; Klis, Weislaw A.; Sarver,  
Jeffrey G.  
PATENT ASSIGNEE(S): The University of Toledo, USA  
SOURCE: PCT Int. Appl., 43 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004080412	A2	20040923	WO 2004-US7269	20040305
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,				

NE, SN, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,  
TD, TG  
PRIORITY APPLN. INFO.: US 2003-452649P 20030307

#### REFERENCE 2

ACCESSION NUMBER: 137:284323 CA Full-text  
TITLE: Enzyme-cleavable prodrug compounds  
INVENTOR(S): Dubois, Vincent; Fernandez, Anne Marie;  
Gangwar, Sanjeev; Lewis, Evan; Lobl, Thomas J.;  
Nieder, Matthew H.; Pickford, Lesley B.; Trouet, Andre;  
Yarranton, Geoffrey T.  
PATENT ASSIGNEE(S): Belg.  
SOURCE: U.S. Pat. Appl. Publ., 86 pp., Cont.-in-part  
of Appl. No. PCT/US99/30393.  
CODEN: USXXCO  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 3  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002142955	A1	20021003	US 2001-879442	20010611
WO 2000033888	A2	20000615	WO 1999-US30393	19991210
WO 2000033888	A3	20011108		

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:  
US 1998-111793P 19981211  
US 1999-119312P 19990208  
WO 1999-US30393 19991210  
US 2000-211887P 20000614  
US 2001-290448P 20010511

#### REFERENCE 3

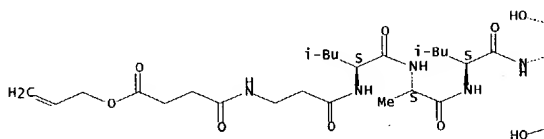
ACCESSION NUMBER: 133:48878 CA Full-text  
TITLE: oligopeptide prodrug compounds and process

L-lyxo-hexopyranosyl]oxy]-, (8S,10S)- (9CI) (CA INDEX NAME)  
FS PROTEIN SEQUENCE; STEREOSEARCH  
MF C52 H69 N5 O18  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL  
DT.CA Caplus document type: Patent  
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

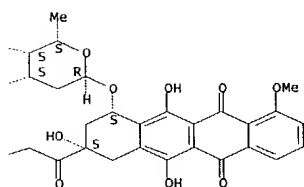
\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



2 REFERENCES IN FILE CA (1907 TO DATE)  
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

#### REFERENCE 1

ACCESSION NUMBER: 137:284323 CA Full-text  
TITLE: Enzyme-cleavable prodrug compounds  
INVENTOR(S): Dubois, Vincent; Fernandez, Anne Marie;

for

INVENTOR(S): preparation thereof  
Lobl, Thomas J.; Dubois, Vincent; Fernandez, Anne-Marie; Gangwar, Sanjeev; Lewis, Evan;  
Nieder, Matthew H.; Trouet, Andre; Viski, Peter;  
Yarranton, Geoffrey T.  
PATENT ASSIGNEE(S): Coulter Pharmaceutical, Inc., USA  
SOURCE: PCT Int. Appl., 125 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 3  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000033888	A2	20000615	WO 1999-US30393	19991210
WO 2000033888	A3	20011108		

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EP 1144011 A2 20011017 EP 1999-967462 19991210  
EP 1144011 A3 20020206  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, TE, SI, LT, LV, FI, RO

JP 2003518000 T2 20030603 JP 2000-586378 19991210  
AU 773420 B2 20040527 AU 2000-23733 19991210  
US 2002142955 A1 20021003 US 2001-879442 20010611  
US 1998-111793P 19981211  
US 1999-119312P 19990208  
WO 1999-US30393 19991210  
US 2000-211887P 20000614  
US 2001-290448P 20010511

L12 ANSWER 14 OF 24 REGISTRY COPYRIGHT 2004 ACS on STN  
RN 274913-03-0 REGISTRY  
CN 5,12-Naphthacenedione, 7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(hydroxyacetyl)-1-methoxy-10-[[[2,3,6-trideoxy-3-[[N-[1,4-dioxo-4-(2-propenyloxy)butyl]-β-alanyl-L-leucyl-L-alanyl-L-leucyl]amino]-α-

Gangwar, Sanjeev; Lewis, Evan; Lobl, Thomas J.;  
Nieder, Matthew H.; Pickford, Lesley B.; Trouet, Andre;  
Yarranton, Geoffrey T.  
PATENT ASSIGNEE(S): Belg.  
SOURCE: U.S. Pat. Appl. Publ., 86 pp., Cont.-in-part  
of Appl. No. PCT/US99/30393.  
CODEN: USXXCO  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 3  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002142955	A1	20021003	US 2001-879442	20010611
WO 2000033888	A2	20000615	WO 1999-US30393	19991210
WO 2000033888	A3	20011108		

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:  
US 1998-111793P 19981211  
US 1999-119312P 19990208  
WO 1999-US30393 19991210  
US 2000-211887P 20000614  
US 2001-290448P 20010511

#### REFERENCE 2

ACCESSION NUMBER: 133:48878 CA Full-text  
TITLE: oligopeptide prodrug compounds and process  
for preparation thereof  
INVENTOR(S): Lobl, Thomas J.; Dubois, Vincent; Fernandez, Anne-Marie; Gangwar, Sanjeev; Lewis, Evan;  
Nieder, Matthew H.; Trouet, Andre; Viski, Peter;  
Yarranton, Geoffrey T.  
PATENT ASSIGNEE(S): Coulter Pharmaceutical, Inc., USA  
SOURCE: PCT Int. Appl., 125 pp.

DOCUMENT TYPE: CODEN: PIXXD2  
LANGUAGE: Patent  
FAMILY ACC. NUM. COUNT: 3 English  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000033888	A2	20000615	WO 1999-US30393	19991210
WO 2000033888	A3	20011108		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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EP 1144011	A3	20020206		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2003518000	T2	20030603	JP 2000-586378	19991210
AU 773420	B2	20040527	AU 2000-23733	19991210
US 2002142955	A1	20021003	US 2001-879442	20010611
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US 2000-211887P 20000614				
US 2001-290448P 20010511				

PRIORITY APPLN. INFO.:

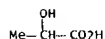
L12 ANSWER 15 OF 24 REGISTRY COPYRIGHT 2004 ACS on STN

RN 274913-02-9 REGISTRY

CN Propanoic acid, 2-hydroxy-, compd. with (8S,10S)-10-[[3-[(β-alanyl-L-leucyl-L-alanyl-L-leucyl)amino]-2,3,6-trideoxy-α-L-lyxo-hexopyranosyl]oxy]-7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(hydroxyacetyl)-1-methoxy-5,12-naphthacenedione (1:1) (9CI) (CA INDEX NAME)  
OTHER CA INDEX NAMES:  
CN 5,12-naphthacenedione, 10-[[3-[(β-alanyl-L-leucyl-L-alanyl-L-leucyl)amino]-2,3,6-trideoxy-α-L-lyxo-hexopyranosyl]oxy]-7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(hydroxyacetyl)-1-methoxy-, (8S,10S)-mono(2-hydroxypropanoate) (salt) (9CI)  
FS PROTEIN SEQUENCE; STEREOSEARCH

CM 2

CRN 50-21-5  
CMF C3 H6 O3



3 REFERENCES IN FILE CA (1907 TO DATE)  
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

#### REFERENCE 1

ACCESSION NUMBER: 137:284323 CA Full-text  
TITLE: Enzyme-cleavable prodrug compounds  
INVENTOR(S): Dubois, Vincent; Fernandez, Anne Marie; Gangwar, Sanjeev; Lewis, Evan; Lobl, Thomas J.; Nieder, Matthew H.; Pickford, Lesley B.; Trouet, Andre; Yarranton, Geoffrey T.  
PATENT ASSIGNEE(S): Belg.  
SOURCE: U.S. Pat. Appl. Publ., 86 pp., Cont.-in-part of Appl.  
DOCUMENT TYPE: No. PCT/US99/30393.  
LANGUAGE: CODEN: USXXCO  
FAMILY ACC. NUM. COUNT: 3 Patent  
PATENT INFORMATION: English

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002142955	A1	20021003	US 2001-879442	20010611
WO 2000033888	A2	20000615	WO 1999-US30393	19991210
WO 2000033888	A3	20011108		
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MF C45 H61 N5 O15 . C3 H6 O3  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL  
DT.CA Caplus document type: Journal; Patent  
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)  
RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation)

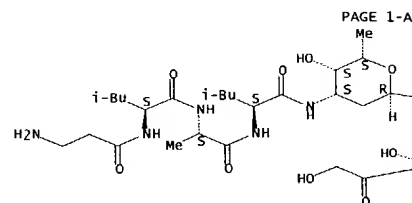
\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

CM 1

CRN 177953-52-5  
CMF C45 H61 N5 O15

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.



PAGE 1-B

CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  
PRIORITY APPLN. INFO.:  
US 1998-111793P 19981211  
US 1999-119312P 19990208  
WO 1999-US30393 19991210  
US 2000-211887P 20000614  
US 2001-290448P 20010511

#### REFERENCE 2

ACCESSION NUMBER: 135:362468 CA Full-text  
TITLE: N-succinyl-(β-alanyl-L-leucyl-L-alanyl-L-leucyl)doxorubicin: an extracellularly tumor-activated prodrug devoid of intravenous acute toxicity  
AUTHOR(S): Fernandez, Anne-Marie; van derpoorten, Kim; Dasnois, Luc; Lebtahi, Karim; Dubois, Vincent; Lobl, Thomas J.; Gangwar, Sanjeev; Oliyai, Cecilia; Lewis, Evan R.; Shochat, Dan; Trouet, Andre  
CORPORATE SOURCE: Laboratory of Cell Biology, Universite Catholique de Louvain, Louvain-la-Neuve, B-1348, Belg.  
SOURCE: Journal of Medicinal Chemistry (2001), 44(22), 3750-3753  
PUBLISHER: CODEN: JMCMAR; ISSN: 0022-2623  
DOCUMENT TYPE: American Chemical Society  
LANGUAGE: Journal  
REFERENCE COUNT: English  
AVAILABLE FOR THIS: 13 THERE ARE 13 CITED REFERENCES

#### RE FORMAT

RECORD. ALL CITATIONS AVAILABLE IN THE

#### REFERENCE 3

ACCESSION NUMBER: 133:48878 CA Full-text  
TITLE: Oligopeptide prodrug compounds and process for preparation thereof  
INVENTOR(S): Lobl, Thomas J.; Dubois, Vincent; Fernandez, Anne-Marie; Gangwar, Sanjeev; Lewis, Evan; Nieder, Matthew H.; Trouet, Andre; Viski, Peter; Yarranton, Geoffrey T.  
PATENT ASSIGNEE(S): Coulter Pharmaceutical, Inc., USA  
SOURCE: PCT Int. Appl., 125 pp.  
DOCUMENT TYPE: CODEN: PIXXD2  
LANGUAGE: Patent  
FAMILY ACC. NUM. COUNT: 3 English  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000033888	A2	20000615	WO 1999-US30393	19991210
WO 2000033888	A3	20011108		
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RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
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EP 1144011	A3	20020206		
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AU 773420	B2	20040527	AU 2000-23733	19991210
US 2002142955	A1	20021003	US 2001-879442	20010611
PRIORITY APPLN. INFO.:			US 1998-111793P	19981211
			US 1999-119312P	19990208
			WO 1999-US30393	19991210
			US 2000-211887P	20000614
			US 2001-290448P	20010511

L12 ANSWER 16 OF 24 REGISTRY COPYRIGHT 2004 ACS on STN  
RN 274912-96-8 REGISTRY  
CN L-Leucine, N-(4-methoxy-1,4-dioxobutyl)-β-alanyl-L-leucyl-L-alanyl-  
(9CI) (CA INDEX NAME)  
FS PROTEIN SEQUENCE; STEREOSEARCH  
MF C23 H40 N4 O8  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL  
DT.CA Caplus document type: Patent  
RL.P Roles from patents: BIOL (biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.

PRIORITY APPLN. INFO.: US 2001-297596P 20010611  
WO 2002-US21135 20020611

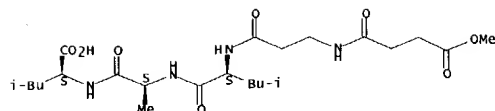
## REFERENCE 2

ACCESSION NUMBER: 137:284323 CA Full-text  
TITLE: Enzyme-cleavable prodrug compounds  
INVENTOR(S): Dubois, Vincent; Fernandez, Anne Marie; Gangwar, Sanjeev; Lewis, Evan; Lobl, Thomas J.; Nieder, Matthew H.; Pickford, Lesley B.; Trouet, Andre; Yarranton, Geoffrey T.  
PATENT ASSIGNEE(S): Belg.  
SOURCE: U.S. Pat. Appl. Publ., 86 pp., Cont.-in-part of Appl.  
No. PCT/US99/30393.  
CODEN: USXXCO  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 3  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002142955	A1	20021003	US 2001-879442	20010611
WO 2000033888	A2	20000615	WO 1999-US30393	19991210
WO 2000033888	A3	20011108		
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
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EP 1144011	A3	20020206		
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JP 2003518000	T2	20030603	JP 2000-586378	19991210
AU 773420	B2	20040527	AU 2000-23733	19991210
US 2002142955	A1	20021003	US 2001-879442	20010611
PRIORITY APPLN. INFO.:			US 1998-111793P	19981211
			US 1999-119312P	19990208
			WO 1999-US30393	19991210
			US 2000-211887P	20000614
			US 2001-290448P	20010511

## REFERENCE 3

ACCESSION NUMBER: 133:48878 CA Full-text  
TITLE: Oligopeptide prodrug compounds and process for preparation thereof



3 REFERENCES IN FILE CA (1907 TO DATE)  
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

## REFERENCE 1

ACCESSION NUMBER: 138:29142 CA Full-text  
TITLE: CD10-activated prodrug compounds  
INVENTOR(S): Bebbington, Christopher R.; Nieder, Matthew H.; Cardarelli, Pina M.; Gangwar, Sanjeev; Pickford, Lesley B.; Pan, Chin  
PATENT ASSIGNEE(S): Medarex, Inc., USA  
SOURCE: PCT Int. Appl., 167 pp.  
CODEN: PIXXDZ  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002100353	A2	20021219	WO 2002-US21135	20020610
WO 2002100353	A3	20030522		
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US 2004087497	A1	20040506	US 2002-167627	20020611

INVENTOR(S): Lobl, Thomas J.; Dubois, Vincent; Fernandez, Anne-Marie; Gangwar, Sanjeev; Lewis, Evan; Nieder, Matthew H.; Trouet, Andre; Viski, Peter; Yarranton, Geoffrey T.  
PATENT ASSIGNEE(S): Coulter Pharmaceutical, Inc., USA  
SOURCE: PCT Int. Appl., 125 pp.  
CODEN: PIXXDZ  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 3  
PATENT INFORMATION:

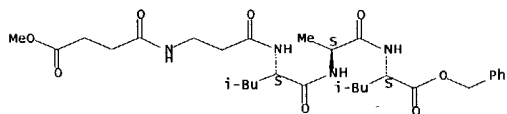
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000033888	A2	20000615	WO 1999-US30393	19991210
WO 2000033888	A3	20011108		
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RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
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EP 1144011	A3	20020206		
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JP 2003518000	T2	20030603	JP 2000-586378	19991210
AU 773420	B2	20040527	AU 2000-23733	19991210
US 2002142955	A1	20021003	US 2001-879442	20010611
PRIORITY APPLN. INFO.:			US 1998-111793P	19981211
			US 1999-119312P	19990208
			WO 1999-US30393	19991210
			US 2000-211887P	20000614
			US 2001-290448P	20010511

L12 ANSWER 17 OF 24 REGISTRY COPYRIGHT 2004 ACS on STN  
RN 274912-95-7 REGISTRY  
CN L-Leucine, N-(4-methoxy-1,4-dioxobutyl)-β-alanyl-L-leucyl-L-alanyl-phenylmethyl ester (9CI) (CA INDEX NAME)  
FS PROTEIN SEQUENCE; STEREOSEARCH  
MF C30 H46 N4 O8  
SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL  
DT.CA Caplus document type: Patent  
RL.P Roles from patents: BIOL (Biological study); PREP  
(Preparation); USES  
(Uses)

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.



2 REFERENCES IN FILE CA (1907 TO DATE)  
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

#### REFERENCE 1

ACCESSION NUMBER: 137:284323 CA Full-text  
TITLE: Enzyme-cleavable prodrug compounds  
INVENTOR(S): Dubois, Vincent; Fernandez, Anne Marie;  
Gangwar, Sanjeev; Lewis, Evan; Lobl, Thomas J.;  
Nieder, Matthew H.; Pickford, Lesley B.; Trouet, Andre;  
Yarranton, Geoffrey T.  
PATENT ASSIGNEE(S): Belg.  
SOURCE: U.S. Pat. Appl. Publ., 86 pp., Cont.-in-part  
of Appl. No. PCT/US99/30393.  
DOCUMENT TYPE: CODEN: USXXCO  
LANGUAGE: Patent  
FAMILY ACC. NUM. COUNT: 3 English  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2000033888	A3	20011108		

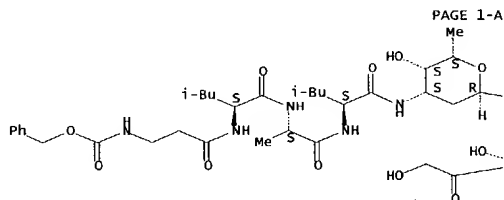
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LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG,

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE,  
MC, PT, IE, SI, LT, LV, FI, RO  
JP 2003518000 T2 20030603 JP 2000-586378 19991210  
AU 773420 B2 20040527 AU 2000-23733 19991210  
US 2002142955 A1 20021003 US 2001-879442 20010611  
US 1998-111793P 19981211  
US 1999-119312P 19990208  
WO 1999-US30393 19991210  
US 2000-211887P 20000614  
US 2001-290448P 20010511

L12 ANSWER 18 OF 24 REGISTRY COPYRIGHT 2004 ACS on STN  
RN 274912-92-4 REGISTRY  
CN 5,12-Naphthacenedione, 7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-  
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FS PROTEIN SEQUENCE; STEREOSEARCH  
MF C53 H67 N5 O17  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL  
DT.CA Caplus document type: Patent  
RL.P Roles from patents: BIOL (Biological study); PREP  
(Preparation); USES  
(Uses)

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.



SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW,  
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BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  
PRIORITY APPLN. INFO.: US 1998-111793P 19981211  
US 1999-119312P 19990208  
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US 2001-290448P 20010511

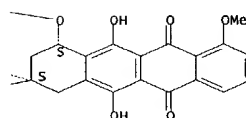
#### REFERENCE 2

ACCESSION NUMBER: 133:48878 CA Full-text  
TITLE: Oligopeptide prodrug compounds and process  
for preparation thereof  
INVENTOR(S): Lobl, Thomas J.; Dubois, Vincent; Fernandez,  
Anne-Marie; Gangwar, Sanjeev; Lewis, Evan;  
Nieder, Matthew H.; Trouet, Andre; Viski, Peter;  
Yarranton, Geoffrey T.  
PATENT ASSIGNEE(S): Coulter Pharmaceutical, Inc., USA  
SOURCE: PCT Int. Appl., 125 pp.  
DOCUMENT TYPE: CODEN: PIXXD2  
LANGUAGE: Patent  
FAMILY ACC. NUM. COUNT: 3 English  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000033888	A2	20000615	WO 1999-US30393	19991210
WO 2000033888	A3	20011108		

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EP 1144011 A2 20011017 EP 1999-967462 19991210  
EP 1144011 A3 20020206

PAGE 1-B



2 REFERENCES IN FILE CA (1907 TO DATE)  
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

#### REFERENCE 1

ACCESSION NUMBER: 137:284323 CA Full-text  
TITLE: Enzyme-cleavable prodrug compounds  
INVENTOR(S): Dubois, Vincent; Fernandez, Anne Marie;  
Gangwar, Sanjeev; Lewis, Evan; Lobl, Thomas J.;  
Nieder, Matthew H.; Pickford, Lesley B.; Trouet, Andre;  
Yarranton, Geoffrey T.  
PATENT ASSIGNEE(S): Belg.  
SOURCE: U.S. Pat. Appl. Publ., 86 pp., Cont.-in-part  
of Appl. No. PCT/US99/30393.  
DOCUMENT TYPE: CODEN: USXXCO  
LANGUAGE: Patent  
FAMILY ACC. NUM. COUNT: 3 English  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002142955	A1	20021003	US 2001-879442	20010611
WO 2000033888	A2	20000615	WO 1999-US30393	19991210
WO 2000033888	A3	20011108		

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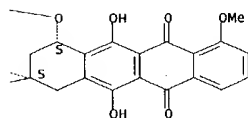
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BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  
PRIORITY APPLN. INFO.: US 1998-111793P 19981211  
US 1999-119312P 19990208  
WO 1999-US30393 19991210  
US 2000-211887P 20000614  
US 2001-290448P 20010511

REFERENCE 2

ACCESSION NUMBER: 133:48878 CA Full-text  
TITLE: Oligopeptide prodrug compounds and process  
for  
preparation thereof  
INVENTOR(S): Lobl, Thomas J.; Dubois, Vincent; Fernandez,  
Anne-Marie; Gangwar, Sanjeev; Lewis, Evan;  
Nieder, Matthew H.; Trouet, Andre; Viski, Peter;  
Yarranton, Geoffrey T.  
PATENT ASSIGNEE(S): Coulter Pharmaceutical, Inc., USA  
SOURCE: PCT Int. Appl., 125 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 3  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000033888	A2	20000615	WO 1999-US30393	19991210
WO 2000033888	A3	20011108		
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JP 2003518000	T2	20030603	JP 2000-586378	19991210

PAGE 1-B



2 REFERENCES IN FILE CA (1907 TO DATE)  
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1

ACCESSION NUMBER: 137:284323 CA Full-text  
TITLE: Enzyme-cleavable prodrug compounds  
INVENTOR(S): Dubois, Vincent; Fernandez, Anne Marie;  
Gangwar, Sanjeev; Lewis, Evan; Lobl, Thomas J.;  
Nieder, Matthew H.; Pickford, Lesley B.; Trouet, Andre;  
Yarranton, Geoffrey T.  
PATENT ASSIGNEE(S): Belg.  
SOURCE: U.S. Pat. Appl. Publ., 86 pp., Cont.-in-part  
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CODEN: USXXCO  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 3  
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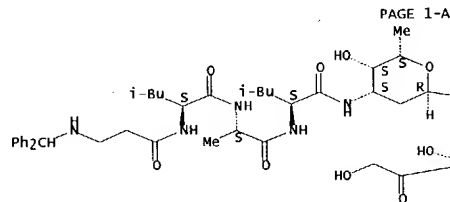
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002142955	A1	20021003	US 2001-879442	20010611
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WO 1999-US30393 19991210  
US 2000-211887P 20000614  
US 2001-290448P 20010511

L12 ANSWER 19 OF 24 REGISTRY COPYRIGHT 2004 ACS on STN  
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CN 5,12-Naphthacenedione, 7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(hydroxyacetyl)-1-methoxy-10-[[2,3,6-trideoxy-3-[[N-(diphenylmethyl)-β-alanyl-L-leucyl-L-alanyl-L-leucyl]amino]-α-L-lyxo-hexopyranosyl]oxy]-, (8S,10S)- (9CI) (CA INDEX NAME)  
FS PROTEIN SEQUENCE; STEREOSEARCH  
MF CS8 H71 N5 O15  
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DT.CA CAplus document type: Patent  
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.



RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH,  
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BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  
PRIORITY APPLN. INFO.: US 1998-111793P 19981211  
US 1999-119312P 19990208  
WO 1999-US30393 19991210  
US 2000-211887P 20000614  
US 2001-290448P 20010511

REFERENCE 2

ACCESSION NUMBER: 133:48878 CA Full-text  
TITLE: Oligopeptide prodrug compounds and process  
for  
preparation thereof  
INVENTOR(S): Lobl, Thomas J.; Dubois, Vincent; Fernandez,  
Anne-Marie; Gangwar, Sanjeev; Lewis, Evan;  
Nieder, Matthew H.; Trouet, Andre; Viski, Peter;  
Yarranton, Geoffrey T.  
PATENT ASSIGNEE(S): Coulter Pharmaceutical, Inc., USA  
SOURCE: PCT Int. Appl., 125 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 3  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2000033888	A3	20011108		
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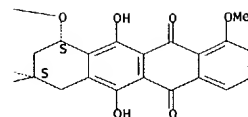
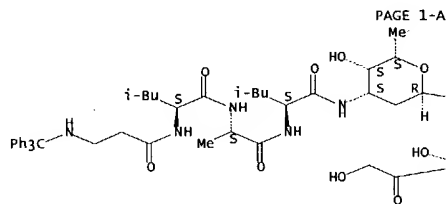
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US 1999-US30393 19991210  
US 2000-211887P 20000614  
US 2001-290448P 20010511

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L12 ANSWER 20 OF 24 REGISTRY COPYRIGHT 2004 ACS on STN  
RN 274912-90-2 REGISTRY  
CN 5,12-naphthacenedione, 7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(hydroxyacetyl)-1-methoxy-10-[[2,3,6-trideoxy-3-[[N-(triphenylmethyl)-β-alanyl-L-leucyl-L-alanyl-L-leucyl]amino]-α-L-lyxo-hexopyranosyl]oxy]-, (8S,10S)- (9CI) (CA INDEX NAME)  
FS PROTEIN SEQUENCE; STEREOSEARCH  
MF C64 H75 N5 O15  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL  
DT.CA Caplus document type: Patent  
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.



2 REFERENCES IN FILE CA (1907 TO DATE)  
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

#### REFERENCE 1

ACCESSION NUMBER: 137:284323 CA Full-text  
TITLE: Enzyme-cleavable prodrug compounds  
INVENTOR(S): Dubois, Vincent; Fernandez, Anne Marie; Gangwar, Sanjeev; Lewis, Evan; Lobl, Thomas J.; Nieder, Matthew; H.; Pickford, Lesley B.; Trouet, Andre; Yarranton, Geoffrey T.  
PATENT ASSIGNEE(S): Belg.  
SOURCE: U.S. Pat. Appl. Publ., 86 pp., Cont.-in-part of Appl.  
DOCUMENT TYPE: No. PCT/US99/30393.  
LANGUAGE: CODEN: USXXCO  
FAMILY ACC. NUM. COUNT: 3  
PATENT INFORMATION: Patent English

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002142955	A1	20021003	US 2001-879442	20010611
WO 2000033888	A2	20000615	WO 1999-US30393	19991210
WO 2000033888	A3	20011108		

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PRIORITY APPLN. INFO.: US 1998-111793P 19981211  
US 1999-119312P 19990208  
WO 1999-US30393 19991210  
US 2000-211887P 20000614  
US 2001-290448P 20010511

#### REFERENCE 2

ACCESSION NUMBER: 133:48878 CA Full-text  
TITLE: Oligopeptide prodrug compounds and process for preparation thereof  
INVENTOR(S): Lobl, Thomas J.; Dubois, Vincent; Fernandez, Anne-Marie; Gangwar, Sanjeev; Lewis, Evan; Nieder, Matthew H.; Trouet, Andre; Viski, Peter; Yarranton, Geoffrey T.  
PATENT ASSIGNEE(S): Coulter Pharmaceutical, Inc., USA  
SOURCE: PCT Int. Appl., 125 pp.  
DOCUMENT TYPE: CODEN: PIXXD2  
LANGUAGE: Patent  
FAMILY ACC. NUM. COUNT: 3  
PATENT INFORMATION: English

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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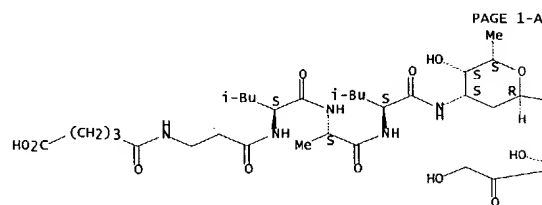
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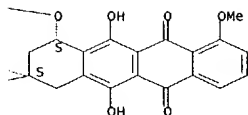
AU 773420 B2 20040527 AU 2000-23733 19991210  
US 2002142955 A1 20021003 US 2001-879442 20010611  
PRIORITY APPLN. INFO.: US 1998-111793P 19981211  
US 1999-119312P 19990208  
WO 1999-US30393 19991210  
US 2000-211887P 20000614  
US 2001-290448P 20010511

L12 ANSWER 21 OF 24 REGISTRY COPYRIGHT 2004 ACS on STN  
RN 274912-89-9 REGISTRY  
CN 5,12-Naphthacenedione, 10-[[3-[[N-(4-carboxy-1-oxobutyl)-β-alanyl-L-leucyl-L-alanyl-L-leucyl]amino]-2,3,6-trideoxy-α-L-lyxo-hexopyranosyl]oxy]-7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(hydroxyacetyl)-1-methoxy-, (8S,10S)- (9CI) (CA INDEX NAME)  
FS PROTEIN SEQUENCE; STEREOSEARCH  
MF C50 H67 N5 O18  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL  
DT.CA Caplus document type: Patent  
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); PRP (Properties); USES (Uses)

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.





3 REFERENCES IN FILE CA (1907 TO DATE)  
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

## REFERENCE 1

ACCESSION NUMBER: 137:284323 CA Full-text  
TITLE: Enzyme-cleavable prodrug compounds  
INVENTOR(S): Dubois, Vincent; Fernandez, Anne Marie;  
Gangwar, Sanjeev; Lewis, Evan; Lobl, Thomas J.;  
Nieder, Matthew H.; Pickford, Lesley B.; Trouet, Andre;  
Yarranton, Geoffrey T.  
PATENT ASSIGNEE(S): Belg.  
SOURCE: U.S. Pat. Appl. Publ., 86 pp., Cont.-in-part  
of Appl. No. PCT/US99/30393.  
DOCUMENT TYPE: CODEN: USXXCO  
LANGUAGE: Patent  
FAMILY ACC. NUM. COUNT: 3 English  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002142955	A1	20021003	US 2001-879442	20010611
WO 2000033888	A2	20000615	WO 1999-US30393	19991210
WO 2000033888	A3	20011108		

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## REFERENCE 3

ACCESSION NUMBER: 133:48878. CA Full-text  
TITLE: Oligopeptide prodrug compounds and process  
for preparation thereof  
INVENTOR(S): Lobl, Thomas J.; Dubois, Vincent; Fernandez, Anne-Marie; Gangwar, Sanjeev; Lewis, Evan;  
Nieder, Matthew H.; Trouet, Andre; Viski, Peter;  
Yarranton, Geoffrey T.  
PATENT ASSIGNEE(S): Coulter Pharmaceutical, Inc., USA  
SOURCE: PCT Int. Appl., 125 pp.  
DOCUMENT TYPE: CODEN: PIXXD2  
LANGUAGE: Patent  
FAMILY ACC. NUM. COUNT: 3 English  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000033888	A2	20000615	WO 1999-US30393	19991210
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AU 773420 B2 20040527 AU 2000-23733 19991210  
US 2002142955 A1 20021003 US 2001-879442 20010611  
US 1998-111793P 19981211  
US 1999-119312P 19990208  
WO 1999-US30393 19991210  
US 2000-211887P 20000614  
US 2001-290448P 20010511

L12 ANSWER 22 OF 24 REGISTRY COPYRIGHT 2004 ACS on STN  
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CN 5,12-Naphthacenedione, 8-acetyl-10-[[3-[[N-(3-carboxy-1-

RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  
PRIORITY APPLN. INFO.:  
US 1998-111793P 19981211  
US 1999-119312P 19990208  
WO 1999-US30393 19991210  
US 2000-211887P 20000614  
US 2001-290448P 20010511

## REFERENCE 2

ACCESSION NUMBER: 136:58787 CA Full-text  
TITLE: Enzyme-cleavable prodrug compounds  
INVENTOR(S): Nieder, Matthew H.; Dubois, Vincent;  
Gangwar, Sanjeev; Lobl, Thomas J.; Pickford, Leslie B.;  
Trouet, Andre; Yarranton, Geoffrey T.  
PATENT ASSIGNEE(S): Corixa Corporation, USA  
SOURCE: PCT Int. Appl., 159 pp.  
DOCUMENT TYPE: CODEN: PIXXD2  
LANGUAGE: Patent  
FAMILY ACC. NUM. COUNT: 3 English  
PATENT INFORMATION:

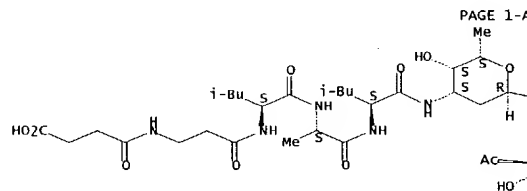
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001095945	A2	20011220	WO 2001-US18903	20010611
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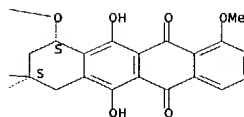
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(8S,10S)-(9CI) (CA INDEX NAME)  
FS PROTEIN SEQUENCE; STEREOSEARCH  
MF C49 H65 N5 O17  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL  
DT.CA Caplus document type: Patent  
RL.P Roles from patents: BIOL (Biological study); PREP  
(Preparation); PRP  
(Properties); USES (Uses)

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.



PAGE 1-B



3 REFERENCES IN FILE CA (1907 TO DATE)

## 3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

## REFERENCE 1

ACCESSION NUMBER: 137:284323 CA Full-text  
 TITLE: Enzyme-cleavable prodrug compounds  
 INVENTOR(S): Dubois, Vincent; Fernandez, Anne Marie;  
 Gangwar, Sanjeev; Lewis, Evan; Lobl, Thomas J.;  
 Nieder, Matthew H.; Pickford, Lesley B.; Trouet, Andre;  
 Yarranton, Geoffrey T.  
 PATENT ASSIGNEE(S): Belg.  
 SOURCE: U.S. Pat. Appl. Publ., 86 pp., Cont.-in-part  
 of Appl. No. PCT/US99/30393.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002142955	A1	20021003	US 2001-879442	20010611
WO 2000033888	A2	20000615	WO 1999-US30393	19991210
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PRIORITY APPLN. INFO.: US 1998-111793P 19981211 US 1999-119312P 19990208 WO 1999-US30393 19991210 US 2000-211887P 20000614 US 2001-290448P 20010511				

## REFERENCE 2

ACCESSION NUMBER: 136:58787 CA Full-text  
 TITLE: Enzyme-cleavable prodrug compounds  
 INVENTOR(S): Nieder, Matthew H.; Dubois, Vincent;  
 Gangwar, Sanjeev; Lobl, Thomas J.; Pickford, Leslie B.;

Trouet, Andre;  
 PATENT ASSIGNEE(S): Yarranton, Geoffrey T.  
 SOURCE: Corixa Corporation, USA  
 PCT Int. Appl., 159 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001095945	A2	20011220	WO 2001-US18903	20010611
WO 2001095945	A3	20020815		
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PRIORITY APPLN. INFO.: US 2000-211887P 20000614 US 2001-290448P 20010511 WO 2001-US18903 20010611				

## REFERENCE 3

ACCESSION NUMBER: 133:48878 CA Full-text  
 TITLE: Oligopeptide prodrug compounds and process  
 for preparation thereof  
 INVENTOR(S): Lobl, Thomas J.; Dubois, Vincent; Fernandez,  
 Anne-Marie; Gangwar, Sanjeev; Lewis, Evan;  
 Nieder, Matthew H.; Trouet, Andre; Viski, Peter;  
 Yarranton, Geoffrey T.  
 PATENT ASSIGNEE(S): Coulter Pharmaceutical, Inc., USA  
 SOURCE: PCT Int. Appl., 125 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 3

## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000033888	A2	20000615	WO 1999-US30393	19991210
WO 2000033888	A3	20011108		
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EP 1144011 A2 20011017 EP 1999-967462 19991210				
EP 1144011 A3 20020206				
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JP 2003518000 T2 20030603 JP 2000-586378 19991210				
AU 773420 B2 20040527 AU 2000-23733 19991210				
US 2002142955 A1 20021003 US 2001-879442 20010611				
PRIORITY APPLN. INFO.: US 1998-111793P 19981211 US 1999-119312P 19990208 WO 1999-US30393 19991210 US 2000-211887P 20000614 US 2001-290448P 20010511				

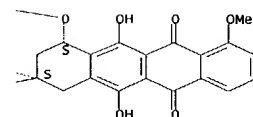
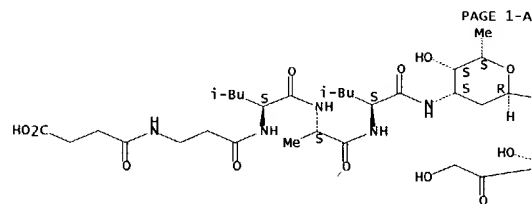
L12 ANSWER 23 OF 24 REGISTRY COPYRIGHT 2004 ACS on STN  
 RN 274912-87-7 REGISTRY  
 CN 5,12-Naphthacenedione, 10-[[3-[[N-(3-carboxy-1-oxopropyl)-β-alanyl]-L-leucyl-L-alanyl]-L-leucyl]amino]-2,3,6-trideoxy-α-L-lyxo-hexopyranosyl]oxy]-7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(hydroxyacetyl)-1-methoxy-, (8S,10S)- (9CI) (CA INDEX NAME)  
 OTHER NAMES:  
 CN CPI 0004Na  
 CN SALAL-DOX  
 FS PROTEIN SEQUENCE; STEREOSEARCH  
 MF C49 H65 N5 O18  
 CI COM  
 SR CA  
 LC STN Files: CA, CAPLUS, PROUSDDR, SYNTHLINE, TOXCENTER, USPATFULL  
 DT.CA Caplus document type: Journal; Patent  
 RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); PRP

(Properties); USES (Uses)

RL.NP Roles from non-patents: BIOL (Biological study); USES (Uses)

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.

7 REFERENCES IN FILE CA (1907 TO DATE)  
7 REFERENCES IN FILE CAPLUS (1907 TO DATE)

## REFERENCE 1

ACCESSION NUMBER: 140:156884 CA Full-text  
 TITLE: CD10 is a Key Enzyme Involved in the  
 Activation of Tumor-activated Peptide Prodrug CPI-0004Na  
 and Novel Analogues: Implications for the Design of  
 Novel Peptide Prodrugs for the Therapy of CD10+  
 Tumors

AUTHOR(S): Pan, Chin; Cardarelli, Pina M.; Nieder, Matthew H.;  
David J.;  
Roscoe,  
Tseng-Hui;  
CORPORATE SOURCE: 94080, USA  
SOURCE: Cancer Research (2003), 63(17), 5526-5531  
CODEN: CNREA8; ISSN: 0008-5472  
PUBLISHER: American Association for Cancer Research  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES  
AVAILABLE FOR THIS

RE FORMAT

REFERENCE 2

ACCESSION NUMBER: 138:29142 CA Full-text  
TITLE: CD10-activated prodrug compounds  
INVENTOR(S): Bebbington, Christopher R.; Nieder, Matthew H.;  
Pickford, Cardarelli, Pina M.; Gangwar, Sanjeev;  
PATENT ASSIGNEE(S): Lesley B.; Pan, Chin  
SOURCE: Medarex, Inc., USA  
PCT Int. Appl., 167 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002100353	A2	20021219	WO 2002-US21135	20020610
WO 2002100353	A3	20030522		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI,				

US 2000-211887P 20000614  
US 2001-290448P 20010511

REFERENCE 4

ACCESSION NUMBER: 137:241802 CA Full-text  
TITLE: CPT-0004Na, a new extracellularly tumor-activated  
activity, prodrug of Doxorubicin: in vivo toxicity, and tissue distribution confirm tumor cell  
selectivity  
AUTHOR(S): Dubois, Vincent; Dasnois, Luc; Lebtahi, Karim; Collot, Françoise; Heylen, Nathalie; Havaux, Nathalie;  
Oliyai, Fernandez, Anne-Marie; Lobl, Thomas J.;  
Yarranton, Cecilia; Nieder, Matthew; Shochat, Dan;  
CORPORATE SOURCE: Geoffrey T.; Trouet, Andre  
of Cell: Université Catholique de Louvain, Laboratory  
SOURCE: Biology, Louvain-la-Neuve, B-1348, Belg.  
Cancer Research (2002), 62(8), 2327-2331  
CODEN: CNREA8; ISSN: 0008-5472  
PUBLISHER: American Association for Cancer Research  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES  
AVAILABLE FOR THIS

RE FORMAT

REFERENCE 5

ACCESSION NUMBER: 136:86054 CA Full-text  
TITLE: Tripeptide prodrug compounds  
INVENTOR(S): Bebbington, Christopher R.; Dubois, Vincent;  
Gangwar, Sanjeev; Lobl, Thomas J.; Nieder, Matthew H.;  
Yarranton, Pickford, Leslie B.; Trouet, Andre;  
PATENT ASSIGNEE(S): Geoffrey T.  
SOURCE: Corixa Corporation, USA  
PCT Int. Appl., 102 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002000263	A2	20020103	WO 2001-US40925	20010611
WO 2002000263	A3	20020815		

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EP 1404356 A2 20040407 EP 2002-746852 20020611  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE,  
MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR  
US 2004087497 A1 20040506 US 2002-167627 20020611  
PRIORITY APPLN. INFO.: US 2001-297596P 20010611  
WO 2002-US21135 20020611

REFERENCE 3

ACCESSION NUMBER: 137:284323 CA Full-text  
TITLE: Enzyme-cleavable prodrug compounds  
INVENTOR(S): Dubois, Vincent; Fernandez, Anne Marie;  
Gangwar, Sanjeev; Lewis, Evan; Lobl, Thomas J.;  
Nieder, Matthew H.; Pickford, Lesley B.; Trouet, Andre;  
Yarranton, Geoffrey T.  
PATENT ASSIGNEE(S): Belg.  
SOURCE: U.S. Pat. Appl. Publ., 86 pp., Cont.-in-part of Appl.  
No. PCT/US99/30393.  
CODEN: USXXCO  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 3  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002142955	A1	20021003	US 2001-879442	20010611
WO 2000033888	A2	20000615	WO 1999-US30393	19991210
WO 2000033888	A3	20011108		
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PRIORITY APPLN. INFO.: US 1998-111793P 19981211 US 1999-119312P 19990208 WO 1999-US30393 19991210				

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EP 1294403 A2 20030326 EP 2001-942249 20010611  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR  
JP 2004501875 T2 20040122 JP 2002-505044 20010611  
US 2003181359 A1 20030925 US 2002-311519 20021213  
PRIORITY APPLN. INFO.: US 2000-212880P 20000614  
WO 2001-US40925 20010611

REFERENCE 6

ACCESSION NUMBER: 136:58787 CA Full-text  
TITLE: Enzyme-cleavable prodrug compounds  
INVENTOR(S): Nieder, Matthew H.; Dubois, Vincent;  
Gangwar, Sanjeev; Lobl, Thomas J.; Pickford, Leslie B.;  
Trouet, Andre;  
Yarranton, Geoffrey T.  
PATENT ASSIGNEE(S): Corixa Corporation, USA  
SOURCE: PCT Int. Appl., 159 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 3  
PATENT INFORMATION:

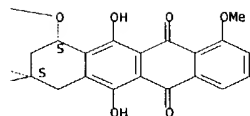
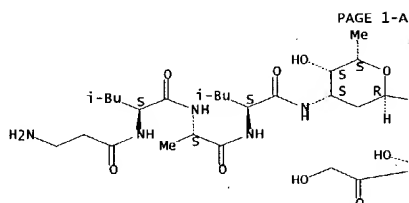
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001095945	A2	20011220	WO 2001-US18903	20010611
WO 2001095945	A3	20020815		
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EP 1294405 A2 20030326 EP 2001-950291 20010611  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR  
JP 2004510703 T2 20040408 JP 2002-510122 20010611  
PRIORITY APPLN. INFO.: US 2000-211887P 20000614  
US 2001-290448P 20010511  
WO 2001-US18903 20010611

#### REFERENCE 7

ACCESSION NUMBER: 133:48878 CA Full-text  
TITLE: Oligopeptide prodrug compounds and process for preparation thereof  
INVENTOR(S): Lobl, Thomas J.; Dubois, Vincent; Fernandez, Anne-Marie; Gangwar, Sanjeev; Lewis, Evan; Nieder, Matthew H.; Trouet, Andre; Viski, Peter; Yarranton, Geoffrey T.  
PATENT ASSIGNEE(S): Coulter Pharmaceutical, Inc., USA  
SOURCE: PCT Int. Appl., 125 pp.  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 3  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000033888	A2	20000615	WO 1999-US30393	19991210
WO 2000033888	A3	20011108		
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4 REFERENCES IN FILE CA (1907 TO DATE)  
4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

#### REFERENCE 1

ACCESSION NUMBER: 137:284323 CA Full-text  
TITLE: Enzyme-cleavable prodrug compounds  
INVENTOR(S): Dubois, Vincent; Fernandez, Anne Marie; Gangwar, Sanjeev; Lewis, Evan; Lobl, Thomas J.; Nieder, Matthew H.; Pickford, Lesley B.; Trouet, Andre; Yarranton, Geoffrey T.  
PATENT ASSIGNEE(S): Belg.  
SOURCE: U.S. Pat. Appl. Publ., 86 pp., Cont.-in-part of Appl.  
DOCUMENT TYPE: Patent  
LANGUAGE: English

MC, PT, IE, SI, LT, LV, FI, RO  
JP 2003518000 T2 20030603 JP 2000-586378 19991210  
AU 773420 B2 20040527 AU 2000-23733 19991210  
US 2002142955 A1 20021003 US 2001-879442 20010611  
PRIORITY APPLN. INFO.: US 1998-111793P 19981211  
US 1999-119312P 19990208  
WO 1999-US30393 19991210  
US 2000-211887P 20000614  
US 2001-290448P 20010511

L12 ANSWER 24 OF 24 REGISTRY COPYRIGHT 2004 ACS on STN  
RN 177953-52-5 REGISTRY  
CN 5,12-Naphthacenedione, 10-[[3-[( $\beta$ -alanyl-L-leucyl-L-alanyl-L-leucyl)amino]-2,3,6-trideoxy- $\alpha$ -L-lyxo-hexopyranosyl]oxy]-7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(hydroxyacetyl)-1-methoxy-, (8S,10S)- (9CI)  
(CA INDEX NAME)  
OTHER CA INDEX NAMES:  
CN 5,12-Naphthacenedione, 10-[[3-[[N-[N-( $\beta$ -alanyl-L-leucyl)-L-leucyl]amino]-2,3,6-trideoxy- $\alpha$ -L-lyxo-hexopyranosyl]oxy]-7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(hydroxyacetyl)-1-methoxy-, (8S-cis)-  
FS PROTEIN SEQUENCE; STEREOSEARCH  
MF C43 H61 N5 O15  
CI COM  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL  
DT.CA Caplus document type: Journal; Patent  
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)  
RL.NP Roles from non-patents: BIOL (Biological study); PROC (Process); USES (Uses)

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.

FAMILY ACC. NUM. COUNT: 3  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002142955	A1	20021003	US 2001-879442	20010611
WO 2000033888	A2	20000615	WO 1999-US30393	19991210
WO 2000033888	A3	20011108		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG PRIORITY APPLN. INFO.: US 1998-111793P 19981211 US 1999-119312P 19990208 WO 1999-US30393 19991210 US 2000-211887P 20000614 US 2001-290448P 20010511				

#### REFERENCE 2

ACCESSION NUMBER: 135:116741 CA Full-text  
TITLE: Extracellularly tumor-activated prodrugs for the selective chemotherapy of cancer: application to doxorubicin and preliminary in vitro and in vivo studies  
AUTHOR(S): Trouet, Andre; Passioukov, Alexandre; Van derpoorten, Kim; Fernandez, Anne-Marie; Abarca-Quinones, Jorge; Baurain, Roger; Lobl, Thomas J.; Oliyai, Cecilia;  
CORPORATE SOURCE: Shochat, Dan; Dubois, Vincent  
Catholique de Laboratory of Cell Biology, Universite Louvain, Louvain-la-Neuve, B-1348, Belg.  
SOURCE: Cancer Research (2001), 61(7), 2843-2846  
CODEN: CNREAS; ISSN: 0008-5472  
PUBLISHER: American Association for Cancer Research  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
REFERENCE COUNT: 17  
THERE ARE 17 CITED REFERENCES  
AVAILABLE FOR THIS

## RE FORMAT

## REFERENCE 3

ACCESSION NUMBER: 133:48878 CA Full-text  
TITLE: Oligopeptide prodrug compounds and process for preparation thereof  
INVENTOR(S): Lobl, Thomas J.; Dubois, Vincent; Fernandez, Anne-Marie; Gangwar, Sanjeev; Lewis, Evan; Nieder, Matthew H.; Trouet, Andre; Viski, Peter; Yarranton, Geoffrey T.  
PATENT ASSIGNEE(S): Coulter Pharmaceutical, Inc., USA  
SOURCE: PCT Int. Appl., 125 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 3  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000033888	A2	20000615	WO 1999-US30393	19991210
WO 2000033888	A3	20011108		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
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JP 2003518000	T2	20030603	JP 2000-586378	19991210
AU 773420	B2	20040527	AU 2000-23733	19991210
US 2002142955	A1	20021003	US 2001-879442	20010611
US 1998-111793P 19981211				
US 1999-119312P 19990208				
WO 1999-US30393 19991210				
US 2000-211887P 20000614				
US 2001-290448P 20010511				

PRIORITY APPLN. INFO.:

ACCESSION NUMBER: 125:49345 CA Full-text  
TITLE: Compounds, pharmaceutical composition and diagnostic system comprising same, and their use  
INVENTOR(S): Trouet, Andre; Baurain, Roger  
PATENT ASSIGNEE(S): La Region wallonne, Belg.; Baurain, Roger  
SOURCE: PCT Int. Appl., 83 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: French  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9605863	A1	19960229	WO 1995-BE76	19950821
W: AM, AU, BR, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TM, TT, UA, UG, US, UZ, VN				
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BE 1008580	A3	19960604	BE 1994-751	19940819
BE 1008581	A3	19960604	BE 1994-752	19940819
CA 2203622	AA	19960229	CA 1995-2203622	19950821
AU 9532486	A1	19960314	AU 1995-32486	19950821
AU 694546	B2	19980723		
EP 769967	A1	19970502	EP 1995-928905	19950821
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JP 10508291	T2	19980818	JP 1995-507662	19950821
NO 9700748	A	19970410	NO 1997-748	19970218
US 5962216	A	19991005	US 1997-793910	19970401
US 6342480	B1	20020129	US 1999-298330	19990423
US 2002160943	A1	20021031	US 2001-12576	20011109
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BE 1994-751 19940819				
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US 1999-298330 19990423				

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SINCE FILE  
ENTRY  
379.66

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FILE COVERS 1907 - 25 Oct 2004 VOL 141 ISS 18  
FILE LAST UPDATED: 24 Oct 2004 (20041024/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

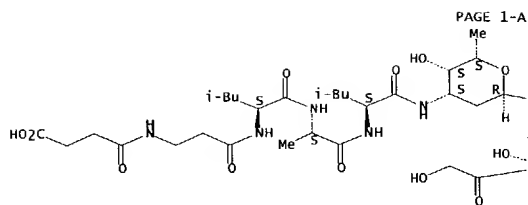
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=> d 114 1-5 ibib abs hitstr

L14 ANSWER 1 OF 5 HCAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 2002:755199 HCAPLUS Full-text  
DOCUMENT NUMBER: 137:284323  
TITLE: Enzyme-cleavable prodrug compounds  
INVENTOR(S): Dubois, Vincent; Fernandez, Anne Marie; Gangwar, Sanjeev; Lewis, Evan; Lobl, Thomas J.; Nieder, Matthew H.; Pickford, Lesley B.; Trouet, Andre; Yarranton, Geoffrey T.  
PATENT ASSIGNEE(S): Belg.  
SOURCE: U.S. Pat. Appl. Publ., 86 pp., Cont.-in-part of Appl.  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 3  
PATENT INFORMATION:

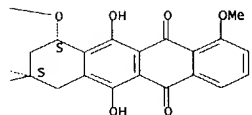
PATENT NO.	KIND	DATE	APPLICATION NO.
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DATE			
US 2002142955	A1	20021003	US 2001-879442
20010611			
WO 2000033888	A2	20000615	WO 1999-US30393
19991210 <--			
WO 2000033888	A3	20011108	
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
PRIORITY APPLN. INFO.:			
19981211			US 1998-111793P P
19990208			US 1999-119312P P
19991210			WO 1999-US30393 A2
20000614			US 2000-211887P P
			US 2001-290448P P
20010511			
OTHER SOURCE(S): MARPAT 137:284323			
AB The prodrug of the invention is a modified form of a therapeutic agent and comprises a therapeutic agent, an oligopeptide, a stabilizing group and, optionally, a linker group. The prodrug is cleavable by the enzyme thimet oligopeptidase, or TOP. Also disclosed are methods of designing prodrugs by utilizing TOP-cleavable sequences within the conjugate and methods of treating patients with prodrugs of the invention.			
IT 274912-87-7P 274912-88-8P 274912-89-9P			
RL: BSU (Biological study, unclassified); PNU (Preparation, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)			
(thimet oligopeptidase-cleavable prodrug compds.)			
RN 274912-87-7 HCAPLUS			
CN 5,12-Naphthacenedione, 10-[[3-[[N-(3-carboxy-1-oxopropyl)-beta-alanyl]-L-leucyl]-L-alanyl]-L-leucyl]amino]-2,3,6-trideoxy-alpha-L-lyxo-hexopyranosyl]oxy]-7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(hydroxyacetyl)-1-methoxy-, (8S,10S)- (9CI) (CA INDEX NAME)			

Absolute stereochemistry.

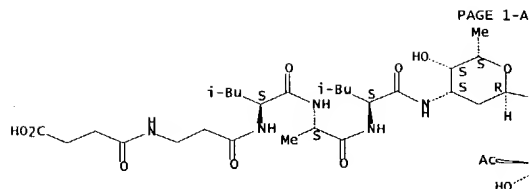


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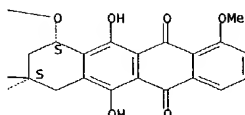


RN 274912-88-8 HCAPLUS  
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Absolute stereochemistry.

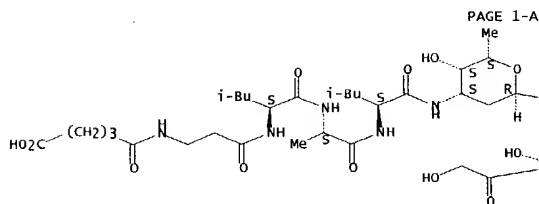


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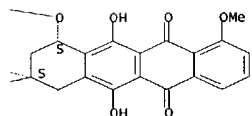


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Absolute stereochemistry.

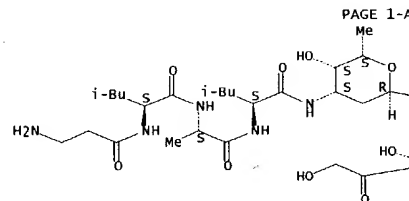


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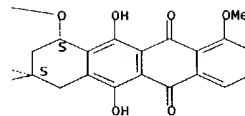


IT 177953-52-5P 274912-90-2P 274912-91-3P  
274912-92-4P 274912-95-7P 274912-96-8P  
274913-02-9P 274913-03-0P 274913-04-1P  
274913-05-2P 274913-06-3P 274913-07-4P  
RL: BSIU (Biological study, unclassified); PNU (Preparation, unclassified); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(thimet oligopeptidase-cleavable prodrug compds.)  
RN 177953-52-5 HCAPLUS  
CN 5,12-Naphthacenedione, 10-[[3-[[N-(β-alanyl-L-leucyl-L-alanyl-L-leucyl)amino]-2,3,6-trideoxy-α-L-lyxo-hexopyranosyl]oxy]-7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(hydroxyacetyl)-1-methoxy-, (8S,10S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



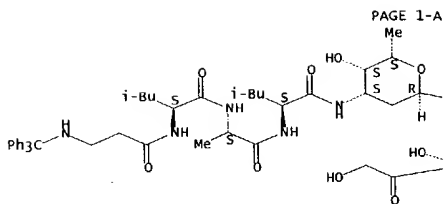
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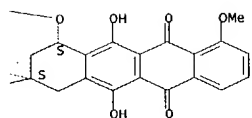
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CN 5,12-Naphthacenedione, 7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(hydroxyacetyl)-1-methoxy-10-[[2,3,6-trideoxy-3-[[N-(triphenylmethyl)-β-alanyl-L-leucyl-L-alanyl-L-leucyl]amino]-α-L-lyxo-hexopyranosyl]oxy]-, (8S,10S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



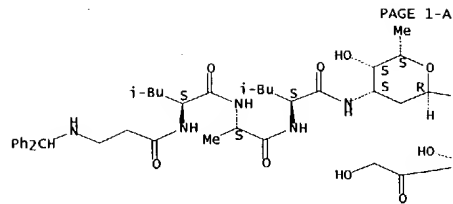


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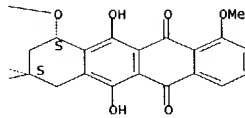


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CN 5,12-Naphthacenedione, 7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(hydroxyacetyl)-1-methoxy-10-[[[2,3,6-trideoxy-3-[[N-(diphenylmethyl)-β-alanyl-L-leucyl-L-alanyl-L-leucyl]amino]-α-L-lyxo-hexopyranosyl]oxy]-, (8S,10S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

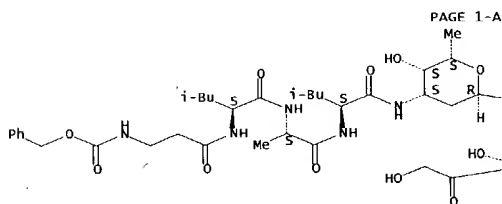


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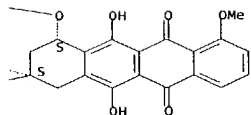


RN 274912-92-4 HCAPLUS  
CN 5,12-Naphthacenedione, 7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(hydroxyacetyl)-1-methoxy-10-[[[2,3,6-trideoxy-3-[[N-[[phenylmethoxy]carbonyl]-β-alanyl-L-leucyl-L-alanyl-L-leucyl]amino]-α-L-lyxo-hexopyranosyl]oxy]-, (8S,10S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

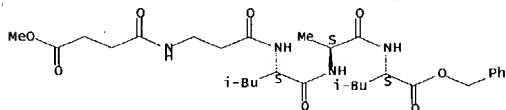


PAGE 1-B



RN 274912-95-7 HCAPLUS  
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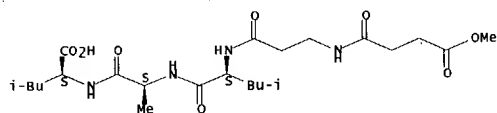
Absolute stereochemistry.



RN 274912-96-8 HCAPLUS  
CN L-Leucine, N-(4-methoxy-1,4-dioxobutyl)-β-alanyl-L-leucyl-L-

alanyl-  
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

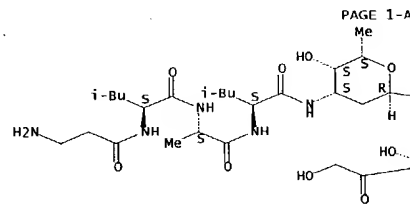


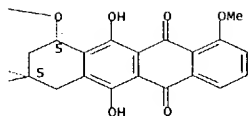
RN 274913-02-9 HCAPLUS  
CN Propanoic acid, 2-hydroxy-, compd. with (8S,10S)-10-[[[3-[(β-alanyl-L-leucyl-L-alanyl-L-leucyl)amino]-2,3,6-trideoxy-α-L-lyxo-hexopyranosyl]oxy]-7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(hydroxyacetyl)-1-methoxy-5,12-naphthacenedione (1:1) (9CI) (CA INDEX NAME)

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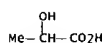
CRN 177953-52-5  
CMF C45 H61 N5 O15

Absolute stereochemistry.





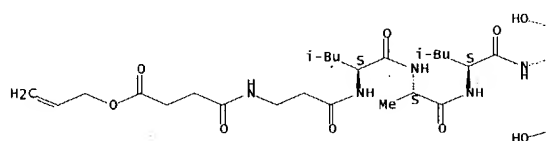
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CRN 50-21-5  
CMF C3 H6 O3

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Absolute stereochemistry.

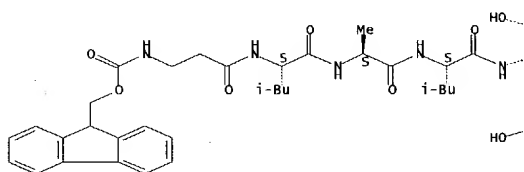
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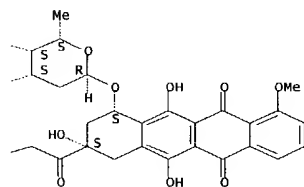
α-L-lyxo-hexopyranosyl]oxy]-, (8S,10S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



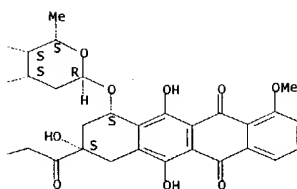
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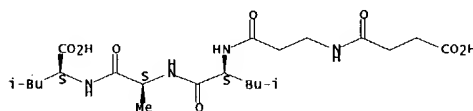
Absolute stereochemistry.

PAGE 1-B



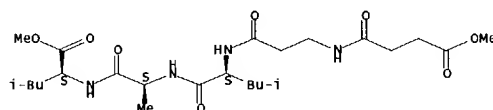
RN 274913-04-1 HCAPLUS  
CN L-Leucine, N-(3-carboxy-1-oxopropyl)-β-alanyl-L-leucyl-L-alanyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



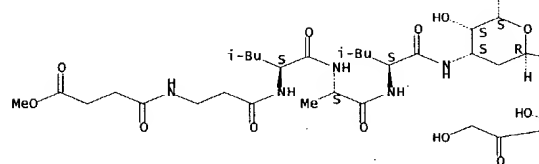
RN 274913-05-2 HCAPLUS  
CN L-Leucine, N-(4-methoxy-1,4-dioxobutyl)-β-alanyl-L-leucyl-L-alanyl-L-leucyl- methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

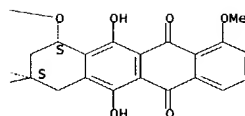


RN 274913-06-3 HCAPLUS  
CN 5,12-Naphthacenedione, 7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(hydroxyacetyl)-1-methoxy-10-[[2,3,6-trideoxy-3-[[N-(9H-fluoren-9-ylmethoxy)carbonyl]-β-alanyl-L-leucyl-L-alanyl-L-leucyl]amino]-α-L-lyxo-hexopyranosyl]oxy]-, (8S,10S)- (9CI) (CA INDEX NAME)

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PAGE 1-B



L14 ANSWER 2 OF 5 HCAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 2001:653068 HCAPLUS Full-text  
DOCUMENT NUMBER: 135:362468

TITLE: N-succinyl-(β-alanyl-L-leucyl-L-alanyl-L-leucyl)doxorubicin: an extracellularly

tumor-activated

AUTHOR(S): prodrug devoid of intravenous acute toxicity  
Fernandez, Anne-Marie; Van derpoorten, Kim;  
Dasnois, Luc; Lebtahi, Karim; Dubois, Vincent; Lobl,  
Thomas J.;  
Gangwar, Sanjeev; Oliyai, Cecilia; Lewis,  
Evan R.;

CORPORATE SOURCE: Shochat, Dan; Trouet, Andre  
Laboratory of Cell Biology, Universite  
Catholique de  
SOURCE: Louvain, Louvain-la-Neuve, B-1348, Belg.  
Journal of Medicinal Chemistry (2001),  
44(22), 3750-3753

AB I.v. administration of N-(β-alanyl-L-leucyl-L-alanyl-L-leucyl)doxorubicin induces an acute toxic reaction, killing animals in a few minutes. This results from its pos. charge at physiol. pH combined with its propensity to form large aggregates in aqueous solns. Neg. charged N-capped versions of N-(β-alanyl-L-leucyl-L-alanyl-L-leucyl)doxorubicin such as the succinyl derivative can be administered by the i.v. route at more than 10 times the LD50 of doxorubicin without inducing the acute toxic reaction, and they are active in vivo.

IT 274913-02-9P 372491-73-1P  
 RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified);

SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(N-succinyl-(β-alanyl-L-leucyl-L-alanyl-L-leucyl)doxorubicin: an extracellularly tumor-activated prodrug devoid of i.v. acute toxicity)

RN 274913-02-9 HCAPLUS

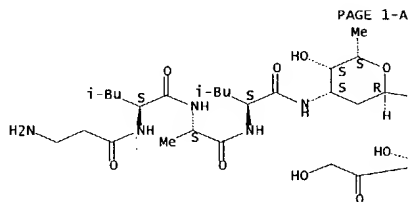
CN Propanoic acid, 2-hydroxy-, compd. with (8S,10S)-10-[[3-[(β-alanyl-L-leucyl-L-alanyl-L-leucyl)amino]-2,3,6-trideoxy-α-L-lyxo-hexopyranosyl]oxy]-7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(hydroxyacetyl)-1-methoxy-5,12-naphthacenedione (1:1) (9CI) (CA INDEX NAME)

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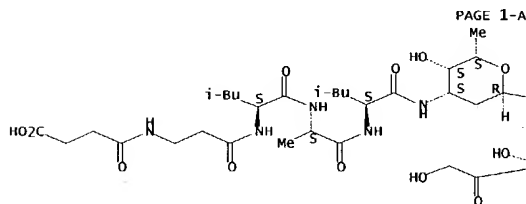
CRN 177953-52-5

CMF C45 H61 N5 O15

Absolute stereochemistry.



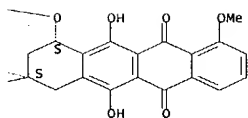
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PAGE 1-A

● Na

PAGE 1-B



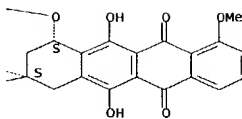
IT 274913-06-3P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(N-succinyl-(β-alanyl-L-leucyl-L-alanyl-L-leucyl)doxorubicin: an extracellularly tumor-activated prodrug devoid of i.v. acute toxicity)

RN 274913-06-3 HCAPLUS

CN 5,12-Naphthacenedione, 7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(hydroxyacetyl)-1-methoxy-10-[[2,3,6-trideoxy-3-[[N-[(9H-fluoren-9-ylmethoxy)carbonyl]-β-alanyl-L-leucyl-L-alanyl-L-leucyl]amino]-α-L-lyxo-hexopyranosyl]oxy]-, (8S,10S)- (9CI) (CA INDEX NAME)

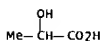
Absolute stereochemistry.



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CRN 50-21-5

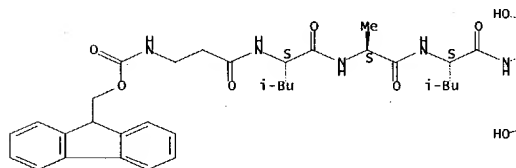
CMF C3 H6 O3



RN 372491-73-1 HCAPLUS

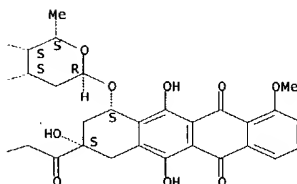
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Absolute stereochemistry.



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PAGE 1-B



REFERENCE COUNT: 13  
 AVAILABLE FOR THIS

THERE ARE 13 CITED REFERENCES

RECORD. ALL CITATIONS AVAILABLE IN THE

RE FORMAT

L14 ANSWER 3 OF 5 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:295889 HCAPLUS Full-text

DOCUMENT NUMBER: 135:116741

TITLE: Extracellularly tumor-activated prodrugs for the selective chemotherapy of cancer:

application to doxorubicin and preliminary in vitro and in vivo studies

AUTHOR(S): Trouet, Andre; Passioukov, Alexandre; van derpoorten, Kim; Fernandez, Anne-Marie; Abarca-Quinones, Jorge;

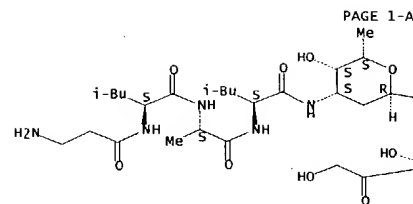
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CORPORATE SOURCE: Baurain, Roger; Lobl, Thomas J.; Oliyai,  
Shochat, Dan; Dubois, Vincent  
Catholique de Laboratory of Cell Biology, Universite  
SOURCE: Louvain, Louvain-la-Neuve, B-1348, Belg.  
Cancer Research (2001), 61(7), 2843-2846  
CODEN: CNREA8; ISSN: 0008-5472  
PUBLISHER: American Association for Cancer Research  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB Oligopeptidic derivs. of anthracyclines unable to penetrate cells were prepared and screened for their stability in human blood and their reactivation by peptidases secreted by cancer cells. N- $\beta$ -alanyl-L-leucyl-L-alanyl-L-leucyl-doxorubicin was selected as a new candidate prodrug. The NH<sub>2</sub>-terminal  $\beta$ -alanine allows a very good blood stability. A two-step activation by peptidases found in conditioned media of cancer cells ultimately yields N-L-leucyl-doxorubicin. In vitro, when MCF-7/6 cancer cells are exposed to the prodrug, they accumulate about 14 times more doxorubicin than MRC-5 normal fibroblasts, whereas when exposed to doxorubicin the uptake is slightly higher in fibroblasts than in MCF-7/6 cells. This increased specificity of the prodrug over doxorubicin was confirmed in cytotoxicity assays using the same cell types. In vivo, the prodrug proved about nine times less toxic than doxorubicin in the normal mouse and also much more efficient in two different exptl. chemotherapy models of human breast tumors.

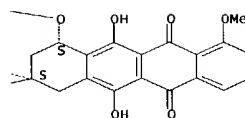
IT 177953-52-5  
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses) (extracellularly tumor-activated prodrugs for selective chemotherapy of cancer and application to doxorubicin and preliminary in vitro and in vivo studies in relation to toxicity)

RN 177953-52-5 HCAPLUS  
CN 5,12-Naphthacenedione, 10-[[3-[( $\beta$ -alanyl-L-leucyl-L-alanyl-L-leucyl)amino]-2,3,6-trideoxy- $\alpha$ -L-lyxo-hexopyranosyl]oxy]-7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(hydroxyacetyl)-1-methoxy-, (8S,10S)- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.



PAGE 1-B



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES  
AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 4 OF 5 HCAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 2000:401690 HCAPLUS Full-text  
DOCUMENT NUMBER: 133:48878  
TITLE: Oligopeptide prodrug compounds and process for

preparation thereof  
INVENTOR(S): Lobl, Thomas J.; Dubois, Vincent; Fernandez, Anne-Marie; Gangwar, Sanjeev; Lewis, Evan; Nieder, Matthew H.; Trouet, Andre; Viski, Peter; Yarranton, Geoffrey T.  
PATENT ASSIGNEE(S): Coulter Pharmaceutical, Inc., USA  
SOURCE: PCT Int. Appl., 125 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent

LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 3  
PATENT INFORMATION:

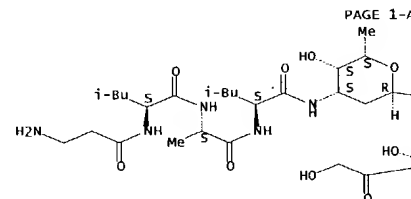
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LV, MD,	IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU,			
SI, SK,	MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG,			
AM, AZ,	SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW,			
CY, DE,	BY, KG, KZ, MD, RU, TJ, TM			
BJ, CF,	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH,			
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MC, PT,	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE,			
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19991210	US 2002142955	A1	20021003	US 2001-879442
20010611				
PRIORITY APPLN. INFO.:				
19981211				
19990208				
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20000614				
20010511				
OTHER SOURCE(S):	MARPAI 133:48878			

AB The prodrug of the invention is a modified form of a therapeutic agent and comprises a therapeutic agent, an oligopeptide, a stabilizing group and, optionally, a linker group. The prodrug is cleavable by the enzyme trypsin. Also disclosed are processes for making the prodrug compds.  
IT 177953-52-5 274912-87-7 274912-88-8  
274912-89-9 274912-90-2 274912-91-3

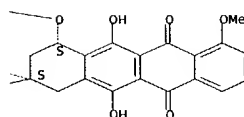
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274913-05-2 274913-06-3 274913-07-4

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (oligopeptide prodrug compds. and process for preparation thereof)  
RN 177953-52-5 HCAPLUS  
CN 5,12-Naphthacenedione, 10-[[3-[( $\beta$ -alanyl-L-leucyl-L-alanyl-L-leucyl)amino]-2,3,6-trideoxy- $\alpha$ -L-lyxo-hexopyranosyl]oxy]-7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(hydroxyacetyl)-1-methoxy-, (8S,10S)- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.

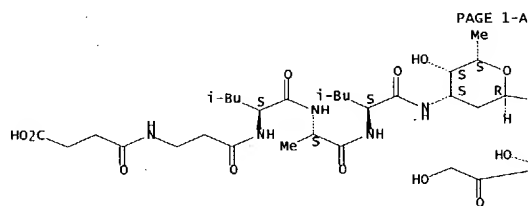


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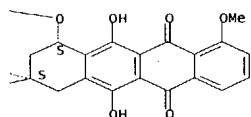


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Absolute stereochemistry.

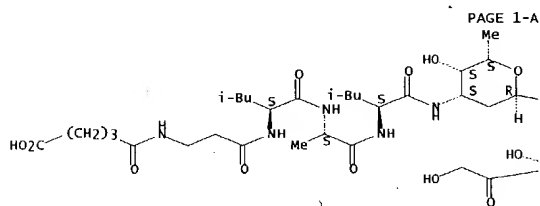


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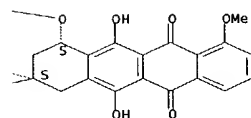


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Absolute stereochemistry.

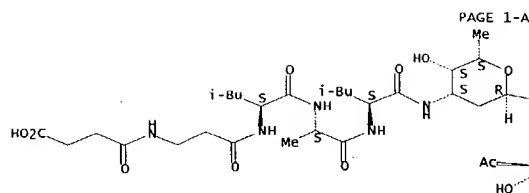


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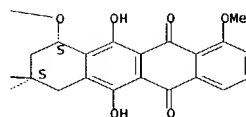


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Absolute stereochemistry.

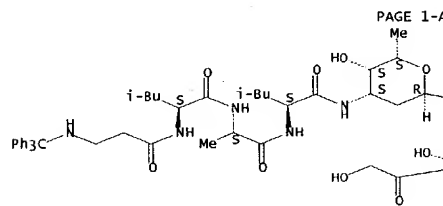


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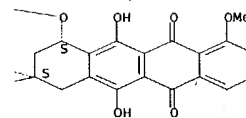


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Absolute stereochemistry.

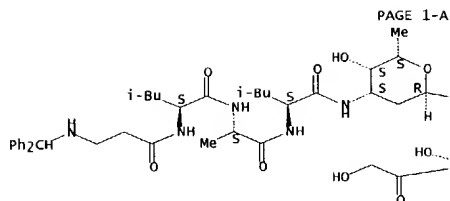


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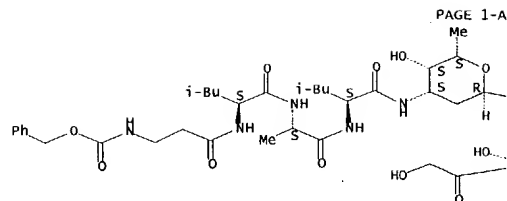


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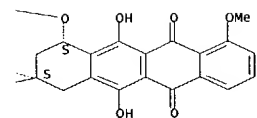
Absolute stereochemistry.



PAGE 1-B

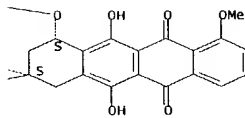


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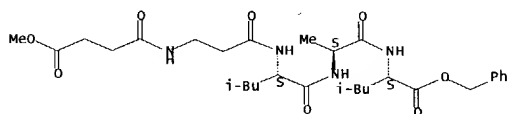
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Absolute stereochemistry.



RN 274912-95-7 HCAPLUS  
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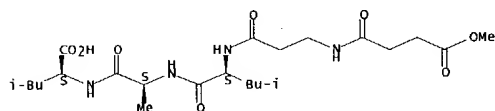
Absolute stereochemistry.



RN 274912-96-8 HCAPLUS  
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alanyl-  
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

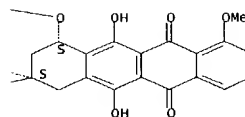


RN 274913-02-9 HCAPLUS  
 CN Propanoic acid, 2-hydroxy-, compd. with (8S,10S)-10-[[3-[(β-alanyl-L-leucyl-L-alanyl-L-leucyl)amino]-2,3,6-trideoxy-α-L-lyxo-hexopyranosyl]oxy]-7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(hydroxyacetyl)-1-methoxy-5,12-naphthacenedione (1:1) (9CI) (CA INDEX NAME)

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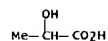
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Absolute stereochemistry.



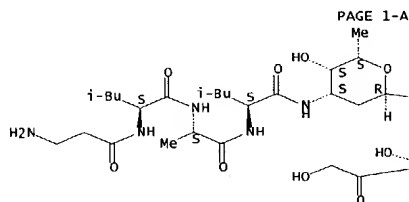
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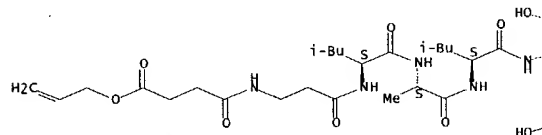


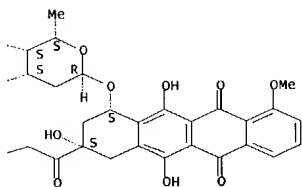
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Absolute stereochemistry.



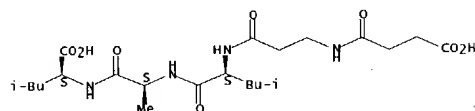
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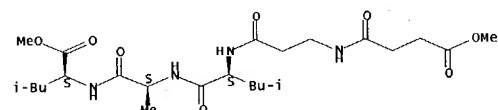
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**Absolute stereochemistry.**

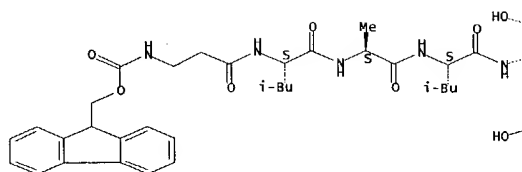


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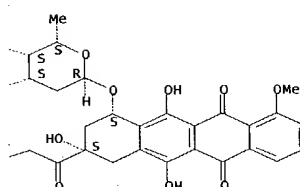
Absolute stereochemistry.



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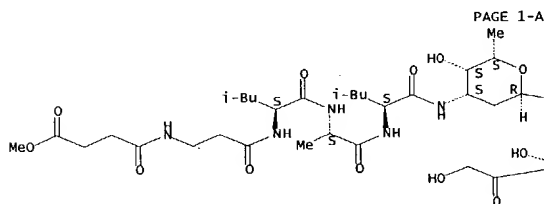
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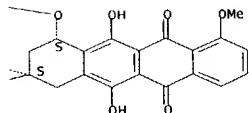
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 hexarosanoyl]oxy]-, (8S,10S)- (9CI) (CA INDEX NAME)

**Absolute stereochemistry.**



PAGE 1-B



L14 ANSWER 5 OF 5 HCAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1996:377089 HCAPLUS [Full-text](#)  
 DOCUMENT NUMBER: 125:49345  
 TITLE: Compounds, pharmaceutical composition and  
 diagnostic

diagnostic system comprising same, and their use  
INVENTOR(S): Trouet, Andre; Baurain, Roger  
PATENT ASSIGNEE(S): La Region wallonne, Belg.; Baurain, Roger  
SOURCE: PCT Int. Appl., 83 pp.

DOCUMENT TYPE:  
LANGUAGE:  
FAMILY ACC. NUM. COUNT:  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.

DATE	PATENT NO.	KIND	DATE	APPLICANT NO.
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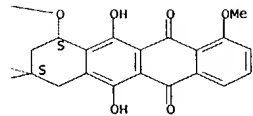
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OTHER SOURCE(S): MARPAT 125:49345  
AB The compds. W-Z-M of the invention comprise an element M, selected from markers and therapeutic agents having an intracellularly active site, linked to a ligand W-Z having an arm Z linked to a terminal group w. The bond between the arm Z of the ligand W-Z and the element M prevents the compound (W-Z-M) from penetrating within the cells and/or inhibits expression of the marker M. This bond is selectively cleaved by factors secreted by target cells so as to enable the marker M to be expressed in target cells or the therapeutic agent M to penetrate therein; the terminal group w ensures that the compound (W-Z-M) is stable in serum and circulating blood. Data

are presented for e.g. effect of  $\beta$ -Ala-L-Leu-L-Ala-L-Leu-daunorubicin conjugate with mammary carcinoma cells. Also described is characterization of protease(s) secreted into the extracellular medium and able to hydrolyze  $\beta$ -Ala-Leu-Ala-Leu-doxorubicin.

IT 177953-52-5P  
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)  
(drug conjugates and marker conjugates with cleavable bond, pharmaceutical compns., and diagnostic system)

RN 177953-52-5 HCAPLUS  
CN 5,12-Naphthacenedione, 10-[[3-[( $\beta$ -alanyl-L-leucyl-L-alanyl-L-leucyl)amino]-2,3,6-trideoxy- $\alpha$ -L-lyxo-hexopyranosyl]oxy]-7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(hydroxyacetyl)-1-methoxy-, (8S,10S)- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.



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L4	0 S L1 FAM
L5	17 S L1 FUL
L6	1 S L2 SAM
L7	0 S L2 FAM
L8	11 S L2 FUL
L9	13 L5 NOT L8
L10	4 L5 AND L8
L11	7 L8 NOT L10
L12	24 L9 OR L10 OR L11

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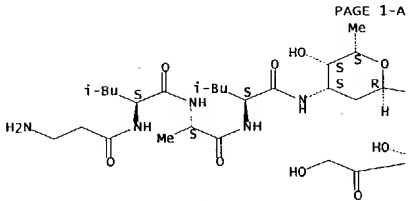
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TOTAL	ENTRY
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Connecting via winsock to STN

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PASSWORD:  
\*\*\*\*\* RECONNECTED TO STN INTERNATIONAL \*\*\*\*\*  
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FILE 'HCAPLUS' ENTERED AT 13:30:12 ON 25 OCT 2004  
COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE
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COST IN U.S. DOLLARS	SINCE FILE
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FULL ESTIMATED COST	30.88
410.75	

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE
TOTAL	ENTRY
SESSION	
CA SUBSCRIBER PRICE	-3.50
3.50	

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provided by InfoChem.

STRUCTURE FILE UPDATES: 24 OCT 2004 HIGHEST RN 768347-62-2  
DICTIONARY FILE UPDATES: 24 OCT 2004 HIGHEST RN 768347-62-2

SAMPLE SCREEN SEARCH COMPLETED - 7646 TO ITERATE

13.1% PROCESSED 1000 ITERATIONS  
ANSWERS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 147679 TO 158161  
PROJECTED ANSWERS: 0 TO 0

L16 0 SEA SSS SAM L15

=> s 15 fam  
L17 455990 15

=> s 115 fu1  
FULL SEARCH INITIATED 13:31:02 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 153797 TO ITERATE

100.0% PROCESSED 153797 ITERATIONS  
ANSWERS  
SEARCH TIME: 00.00.03

L18 78 SEA SSS FUL L15

=> d his

(FILE 'HOME' ENTERED AT 12:28:11 ON 25 OCT 2004)

FILE 'REGISTRY' ENTERED AT 12:28:15 ON 25 OCT 2004

L1 STRUCTURE UPLOADED  
L2 STRUCTURE UPLOADED  
L3 0 S L1 SAM  
L4 0 S L1 FAM  
L5 17 S L1 FUL  
L6 1 S L2 SAM  
L7 0 S L2 FAM  
L8 11 S L2 FUL  
L9 13 L5 NOT L8  
L10 4 L5 AND L8  
L11 7 L8 NOT L10  
L12 24 L9 OR L10 OR L11

FILE 'HAPLUS' ENTERED AT 12:32:15 ON 25 OCT 2004  
L13 13 S L12  
L14 5 L13 AND PD<20010611

FILE 'REGISTRY' ENTERED AT 13:30:18 ON 25 OCT 2004  
L15 STRUCTURE UPLOADED  
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L17 455990 S 15 FAM  
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=> 118 not 112  
L19 55 L18 NOT L12

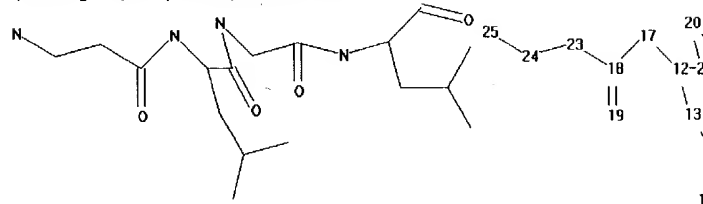
TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for  
details.

Experimental and calculated property data are now available. For more  
information enter HELP PROP at an arrow prompt in the file or refer  
to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=> Uploading H:\STN queries\09879442f.str



chain nodes :  
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20  
21 22 23 24 25  
chain bonds :  
1-3 1-2 3-4 3-8 4-5 5-6 5-7 8-9 9-10 9-11 10-20 12-17 12-  
13 12-21 13-14 14-15 14-16 17-18 18-19 18-23 20-21 21-22  
23-24 24-25  
exact/norm bonds :  
1-2 3-8 8-9 9-11 10-20 12-17 17-18 18-19 20-21 21-22 24-25  
exact bonds :  
1-3 3-4 4-5 5-6 5-7 9-10 12-13 12-21 13-14 14-15 14-16 18-  
23 23-24

Match level :  
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS  
8:CLASS 9:CLASS 10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS  
15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:CLASS 21:CLASS  
22:CLASS 23:CLASS 24:CLASS 25:CLASS

L15 STRUCTURE UPLOADED

=> s 115 sss sam  
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TOTAL	ENTRY
SESSION	
FULL ESTIMATED COST	160.27
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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE
TOTAL	ENTRY
SESSION	
CA SUBSCRIBER PRICE	0.00
3.50	

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FILE COVERS 1907 - 25 Oct 2004 VOL 141 ISS 18  
FILE LAST UPDATED: 24 Oct 2004 (20041024/Ed)

This file contains CAS Registry Numbers for easy and accurate  
substance identification.

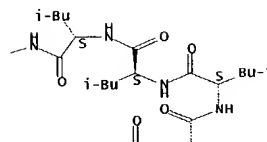
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L20 19 L19

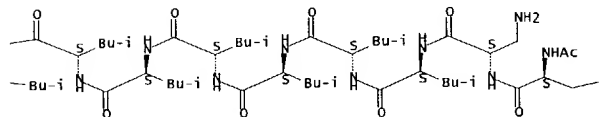
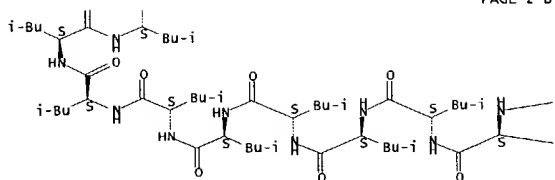
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FILE 'REGISTRY' ENTERED AT 12:28:15 ON 25 OCT 2004

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L2 STRUCTURE UPLOADED  
L3 0 S L1 SAM  
L4 0 S L1 FAM  
L5 17 S L1 FUL  
L6 1 S L2 SAM  
L7 0 S L2 FAM  
L8 11 S L2 FUL





-NH2

AB We have investigated the effects of the model  $\alpha$ -helical transmembrane peptide Ac-K2L24K2-amide (L24) on the thermotropic phase behavior of aqueous dispersions of 1,2-diacyldiethylphosphatidylethanolamine (DEPE) to understand better the interactions between lipid bilayers and the membrane-spanning segments of integral membrane proteins. We studied in

particular the effect of L24 and three derivs. thereof on the liquid-crystalline lamellar (La)-reversed hexagonal (HII) phase transition of DEPE model membranes by differential scanning calorimetry and  $^31\text{P}$  NMR spectroscopy. We found that the incorporation of L24 progressively decreases the temperature, enthalpy, and cooperativity of the La-HII phase transition, as well as induces the formation of an inverted cubic phase, indicating that this transmembrane peptide promotes the formation of inverted non-lamellar phases, despite the fact that the hydrophobic length of this peptide exceeds the hydrophobic thickness of the host lipid bilayer. These characteristic effects are not altered by truncation of the side chains of the terminal lysine residues or by replacing each of the leucine residues at the end of the polyisoleucine core of L24 with a tryptophan residue. Thus, the characteristic effects of these transmembrane peptides on DEPE thermotropic phase behavior are independent of their detailed chemical structure. Importantly, significantly shortening the polyisoleucine core of L24 results in a smaller decrease in the La-HII phase transition temperature of the DEPE matrix into which it is incorporated, and reducing the thickness of the host phosphatidylethanolamine bilayer results in a larger reduction in the La-HII phase transition temperature. These results are not those predicted by hydrophobic mismatch considerations or reported in previous studies of other transmembrane  $\alpha$ -helical peptides containing a core of an alternating sequence of leucine and alanine residues. We thus conclude that the hydrophobicity and conformational flexibility of transmembrane peptides can affect their propensity to induce the formation of inverted non-lamellar phases by mechanisms not primarily dependent on lipid-peptide hydrophobic mismatch.

REFERENCE COUNT: 55 THERE ARE 55 CITED REFERENCES  
AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE

## RE FORMAT

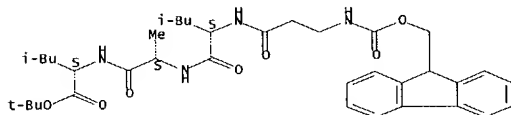
L26 ANSWER 3 OF 7 HCAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 2000:842160 HCAPLUS Full-text  
DOCUMENT NUMBER: 134:5165  
TITLE: Minimal isolation peptide synthesis process  
using ion-exchange resins as scavenging agents  
INVENTOR(S): Tolle, John C.; Califano, Jean-Christophe;  
Dhaon, Madhup K.; Sachs, Howard A.; Blodgett, James  
K.  
PATENT ASSIGNEE(S): Abbott Laboratories, USA  
SOURCE: PCT Int. Appl., 44 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.
DATE			

WO 2000071569 A1 20001130 WO 2000-US14152  
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RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU,  
MC, NL, PT, SE  
EP 1180115 A1 20020220 EP 2000-936209  
20000523 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE,  
MC, PT, IE, FI  
JP 2003500415 T2 20030107 JP 2000-619824  
20000523  
PRIORITY APPLN. INFO.: US 1999-322762 A  
19990526 US 2000-528899 A  
20000320 WO 2000-US14152 W

20000523  
IT 308812-43-3P  
RL: IMF (Industrial manufacture); SPN (Synthetic preparation);  
PREP (Preparation)  
ion-exchange (liquid-phase peptide synthesis using minimal isolation and  
resins as scavenging agents)  
RN 308812-43-3 HCAPLUS  
CN L-Leucine, N-[(9H-fluoren-9-ylmethoxy)carbonyl]- $\beta$ -alanyl-L-  
leucyl-L-  
alanyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



AB A process for the prodn. of a polypeptide having a pre-dtd. no. and sequence of amino acid residues comprises: (1) exposing a first substrate amino acid or peptide fragment to a stoichiometric excess of a second reactant amino acid or peptide fragment to form a condensation product, (2) contacting the reaction solution from the first step with an insol. scavenger to sequester the excess of the second reactant amino acid or peptide fragment, (3) removing from the solution the sequestered excess second reactant amino acid or peptide fragment, (4) subjecting the reaction solution to a reaction which removes the

protecting group from either the N- or C-terminus of the condensation product of the first step, and (5) if necessary, repeating the first through fourth steps. The method is capable of large-scale production of peptides in solution, is not subject to the one-terminus-only limitation of the solid-phase method, possesses the "cleanliness" of the solid-phase method and, like the solid-phase method, is capable of automation. Most importantly, however, the method of the present invention does not require the frequent isolation of intermediates in a lengthy synthetic sequence nor, necessarily, the removal of all contaminating byproducts from the reaction mixture prior to subsequent processing steps. The method was applied to the synthesis of Z-Lys(Boc)-Ala-Phe-Val-Lys(Boc)-Ile-Leu-Lys(Boc)-Lys(Boc)-OMe (Z = benzyloxycarbonyl, Boc = tert-butoxycarbonyl), Z-Lys(Boc)-Phe-Leu-Lys(Boc)-Lys(Boc)-Ala-Lys(Boc)-Lys(Boc)-Phe-Gly-OMe, and Fmoc- $\beta$ -Ala-Leu-Ala-Leu-OBu-t (Fmoc = 9-Fluorenylmethoxycarbonyl).

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE  
FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE

## RE FORMAT

L26 ANSWER 4 OF 7 HCAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 1996:724187 HCAPLUS Full-text  
DOCUMENT NUMBER: 126:4221  
TITLE: Method of photochemical immobilization of  
ligands using quinones  
INVENTOR(S): Jacobsen, Mogens Havsteen; Koch, Troels  
PATENT ASSIGNEE(S): Jacobsen, Mogens, Havsteen, Den.  
SOURCE: PCT Int. Appl., 98 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.
DATE			

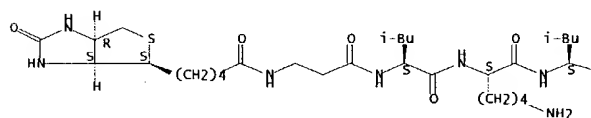
WO 9631557 A1 19961010 WO 1996-DK167  
19960403 <--  
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LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU,  
SD, SE, SG, SI  
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR,  
GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA,  
GN  
CA 2217053 AA 19961010 CA 1996-2217053  
19960403 <--

AU 9653329 A1 19961023 AU 1996-53329  
 19960403 <-- B2 19981203  
 AU 699321 B2 19981203  
 EP 820483 A1 19980128 EP 1996-909990  
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 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI  
 JP 11505554 Y2 19990521 JP 1996-529895  
 19960403 <-- JP 3124037 B2 20010115  
 AT 198079 E 20001215 AT 1996-909990  
 19960403 <-- ES 2153097 T3 20010216 ES 1996-909990  
 19960403 <-- PT 820483 T 20010330 PT 1996-909990  
 19960403 <-- US 6033784 A 20000307 US 1997-930623  
 19971007 <-- GR 3035079 T3 20010330 GR 2000-402602  
 20001214 <-- PRIORITY APPLN. INFO.: DK 1995-425 A  
 19950407 WO 1996-DK167 W

19960403  
 OTHER SOURCE(S): CASREACT 126:4221; MARPAT 126:4221  
 IT 183808-44-8  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (photochem. immobilization of ligands using quinones)  
 RN 183808-44-8 HCAPLUS  
 CN L-Histidine, N-[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]-β-alanyl-L-leucyl-L-lysyl-L-leucyl-L-lysyl-L-tryptophyl-L-lysyl-L-histidyl-L-histidyl-L-histidyl-L-histidyl-L-histidyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

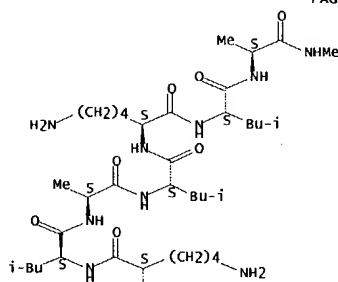
PAGE 1-A



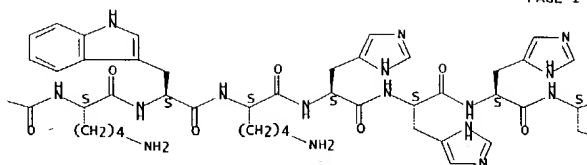
Mihara, Hisakazu; Nishino, Norikazu  
 CORPORATE SOURCE: Dep. Chemical and Biochemical Engineering,  
 Toyama Univ., Gofuku, 930, Japan  
 SOURCE: Chemistry Letters (1995), (10), 965-6  
 CODEN: CMLTAG; ISSN: 0366-7022  
 PUBLISHER: Nippon Kagakka  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 IT 159922-47-1P  
 RL: PRP (Properties); SPN (Synthetic preparation); PREP  
 (Preparation)  
 (Super-secondary structure with amphiphilic β-strands probed by pyrenylalanine)  
 RN 159922-47-1 HCAPLUS  
 CN L-Alaninamide, 1,1'-([2,2'-bipyridine]-4,4'-diylldicarbonyl)bis[β-alanyl-L-leucyl-L-lysyl-L-leucyl-L-alanyl-3-(1-pyrenyl)-L-alanyl-L-lysyl-L-leucyl-L-leucyl-L-lysyl-L-leucyl-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

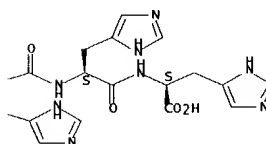
PAGE 1-C



PAGE 1-B



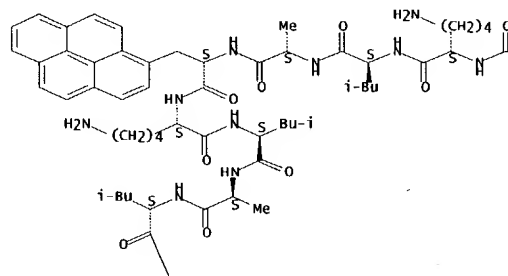
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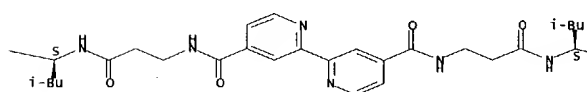
AB A method is disclosed for immobilizing a ligand on the surface of a carbon-containing substrate material, said method comprising a photochem. step of linking ≥1 photochem. reactive compds. to a carbon-containing material surface, wherein the photochem. reactive compound is a quinone compound containing a cyclic hydrocarbon or 2-10 fused cyclic hydrocarbons, with at least 2 conjugated carbonyl groups, and wherein the photochem. step comprises irradiation of the photochem. reactive compound with nonionizing electromagnetic radiation having a wavelength in the range from UV to visible light. The products of this invention can be used as, e.g., carriers for solid-phase immunoassays.

L26 ANSWER 5 OF 7 HCAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1995:860771 HCAPLUS Full-text  
 DOCUMENT NUMBER: 124:56674  
 TITLE: Super-secondary structure with amphiphilic β-strands probed by pyrenylalanine  
 AUTHOR(S): Ono, Shin; Kameda, Naoyoshi; Yoshimura, Toshiaki;  
 Shimasaki, Choichiro; Tsukurimichi, Eiichi;

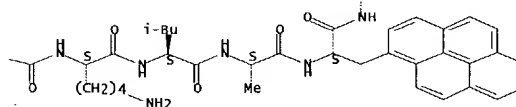
PAGE 2-A

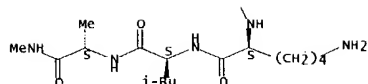


PAGE 2-B



PAGE 2-C





AB A peptide composed of two amphiphilic  $\beta$ -strands was designed and synthesized. The CD and fluorescence spectra of 1-pyrenylalanine introduced in each segment probed that a super-secondary structure with two  $\beta$ -strands was formed with a left-handed twist and transformed to  $\alpha$ -helices by the addition of trifluoroethanol.

L26 ANSWER 6 OF 7 HCAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1995:435168 HCAPLUS [Full-text](#)  
 DOCUMENT NUMBER: 123:56544  
 TITLE: The Arndt-Eistert reaction in peptide chemistry: a facile access to homopeptides  
 AUTHOR(S): Podlech, Joachim; Seebach, Dieter  
 CORPORATE SOURCE: Lab. Org. Chem., Eidgenössischen Hochschule, Zurich, CH-8092, Switz.  
 SOURCE: Angewandte Chemie, International Edition in English (1995), 34(4), 471-2  
 CODEN: ACIEAY; ISSN: 0570-0833  
 PUBLISHER: VCH  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 123:56544  
 IT 164402-23-7P

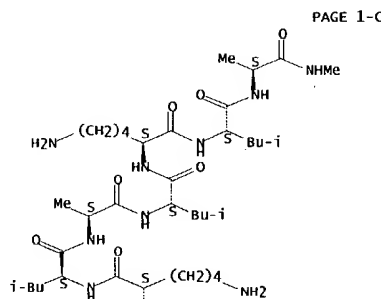
RL: SPN (Synthetic preparation); PREP (Preparation)  
 (Arndt-Eistert homologation and peptide coupling in preparation of homopeptides)

RN 164402-23-7 HCAPLUS  
 CN L-Leucine, N-[(1,1-dimethylethoxy)carbonyl]-L-leucyl-N-methylglycyl-5-methyl-(S)-3-aminohexanoyl-L-leucyl-N-methylglycyl-, methyl ester (9CI)  
 (CA INDEX NAME)

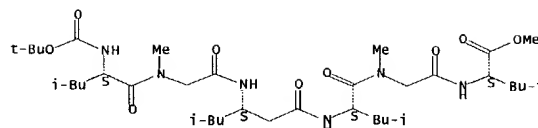
Absolute stereochemistry. Rotation (-).

(evaluation of the structure of  $\beta$  turn  $\beta$  type polypeptide with 1-pyrenylalanine as CD and fluorescent probe)  
 RN 159922-47-1 HCAPLUS  
 CN L-Alaninamide, 1,1'-([2,2'-bipyridine]-4,4'-diyl)dicarbonylbis[ $\beta$ -alanyl-L-leucyl-L-lysyl-L-leucyl-L-alanyl-3-(1-pyrenyl)-L-alanyl-L-lysyl-L-leucyl-L-alanyl-L-leucyl-L-lysyl-L-leucyl-N-methyl- (9CI) (CA INDEX NAME)

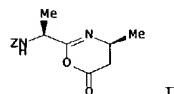
Absolute stereochemistry.



PAGE 1-C



GI



II

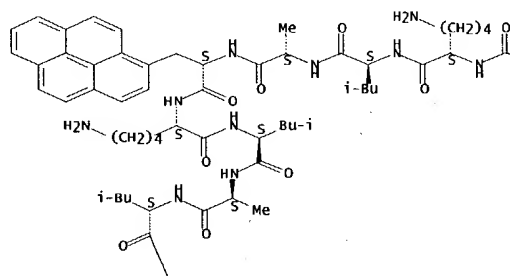
AB Treatment of N-protected amino acids and peptides R1NHCHR2CO2H [R1 = PhCH2O2C (Z), Z-Ala, Me3CO2C-Leu-Sar; R2 = Me, CH2CHMe2] with ClCO2Et/Et3N, followed by CH2N2 gave diazoketones R1NHCHR2COCHN2 (I) in 41-86% yields. Treatment of the diazoketones with amino acid or peptide esters H-R3 (R3 = Val-OMe, Sar-MeLeu-OMe) in the presence of silver benzoate gave homopeptides R1NHCHR2CH2COR3 in 60-95% yields. Treatment of diazoketone I (R = Z-Ala) with silver benzoate in MeOH gave the expected homologated ester Z-Ala-NHCHMeCH2CO2Me, while treatment with silver benzoate in THF in the absence of a nucleophile gave dihydrooxazone II.

L26 ANSWER 7 OF 7 HCAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1995:16051 HCAPLUS [Full-text](#)  
 DOCUMENT NUMBER: 122:56489  
 TITLE: Evaluation of the structure of  $\beta$  turn  $\beta$  type polypeptide with 1-pyrenylalanine as CD and fluorescent probe

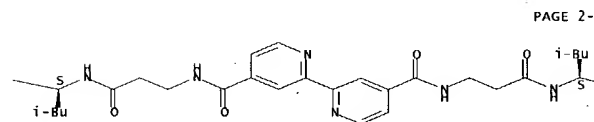
AUTHOR(S): Ono, Shin; Kameda, Naoyoshi; Fujii, Ritsuko; Yoshimura, Toshiaki; Shimazaki, Choichiro; Tsukurimichi, Eiichi; Mihara, Hisakazu;

Nishino,

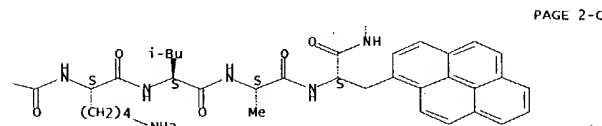
Norikazu  
 CORPORATE SOURCE: Fac. Eng., Toyama Univ., Gofuku, 930, Japan  
 SOURCE: Peptide Chemistry (1993), 31st, 449-52  
 CODEN: PECHDP; ISSN: 0388-3698  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 IT 159922-47-1  
 RL: PRP (Properties)



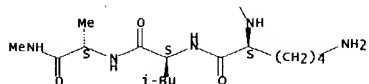
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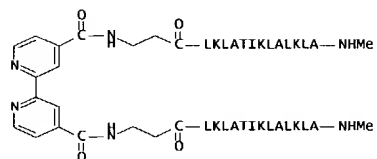
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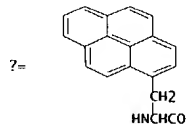
PAGE 2-C



GI



I



AB A symposium report on the evaluation of the structure of  $\beta$  turn  $\beta$  type polypeptide I with 1-pyrenylalanine as CD and fluorescent probe.

=> DIS HIST

(FILE 'HOME' ENTERED AT 12:28:11 ON 25 OCT 2004)

FILE 'REGISTRY' ENTERED AT 12:28:15 ON 25 OCT 2004

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L4 0 S L1 FAM  
L5 17 S L1 FUL

L6 1 S L2 SAM  
L7 0 S L2 FAM  
L8 11 S L2 FUL  
L9 13 L5 NOT L8  
L10 4 L5 AND L8  
L11 7 L8 NOT L10  
L12 24 L9 OR L10 OR L11

FILE 'HCAPLUS' ENTERED AT 12:32:15 ON 25 OCT 2004

L13 13 S L12  
L14 5 L13 AND PD<20010611

FILE 'REGISTRY' ENTERED AT 13:30:18 ON 25 OCT 2004

L15 STRUCTURE UPLOADED  
L16 0 S L15 SSS SAM  
L17 455990 S L5 FAM  
L18 78 S L15 FUL  
L19 55 L18 NOT L12

FILE 'HCAPLUS' ENTERED AT 13:31:43 ON 25 OCT 2004

L20 19 L19  
L21 19 S L19  
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L25 7 L24 AND PD<20010611  
L26 7 L25 NOT L14

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=> LOG H

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TOTAL

SINCE FILE

ENTRY

SESSION  
FULL ESTIMATED COST  
611.42

40.40

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)  
TOTAL

SINCE FILE

ENTRY

SESSION  
CA SUBSCRIBER PRICE  
8.40

-4.90

SESSION WILL BE HELD FOR 60 MINUTES

STN INTERNATIONAL SESSION SUSPENDED AT 13:33:31 ON 25 OCT 2004

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:ssspta1653adk

PASSWORD:

\*\*\*\*\* RECONNECTED TO STN INTERNATIONAL \*\*\*\*\*  
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FILE 'HCAPLUS' ENTERED AT 14:25:58 ON 25 OCT 2004  
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COST IN U.S. DOLLARS	SINCE FILE
TOTAL	ENTRY

SESSION	
FULL ESTIMATED COST	40.40
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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE
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CA SUBSCRIBER PRICE	-4.90
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TOTAL	ENTRY

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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE
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CA SUBSCRIBER PRICE	-4.90
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Property values tagged with IC are from the ZIC/VINITI data file  
provided by InfoChem.

STRUCTURE FILE UPDATES: 24 OCT 2004 HIGHEST RN 768347-62-2  
DICTIONARY FILE UPDATES: 24 OCT 2004 HIGHEST RN 768347-62-2

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PROJECTED ANSWERS: 20835 TO 24891

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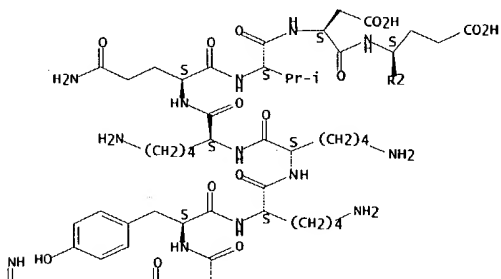
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L28 ANSWER 1 OF 48 REGISTRY COPYRIGHT 2004 ACS ON STN  
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lysyl-L-

glutaminyl-L-valyl-L-α-aspartyl-L-α-glutamyl-L-glutaminyl-L-  
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OTHER NAMES:  
CN 4697: PN: WO2004072263 PAGE: 174 claimed protein  
FS PROTEIN SEQUENCE; STEREOSEARCH  
MF C82 H140 N30 O26 S  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER  
DT.CA CAPLUS document type: Patent  
RL.P Roles from patents: BIOL (Biological study); PRP (Properties)

Absolute stereochemistry.

PAGE 1-A



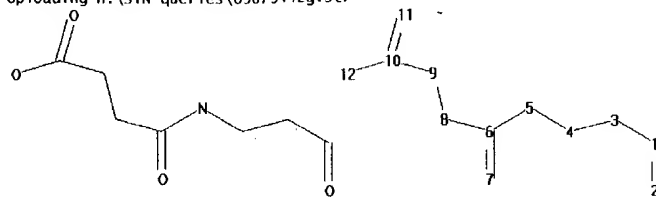
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Please note that search-term pricing does apply when  
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Crossover limits have been increased. See HELP CROSSOVER for  
details.

Experimental and calculated property data are now available. For more  
information enter HELP PROP at an arrow prompt in the file or refer  
to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/bbss/registryss.html>

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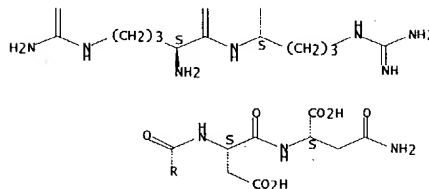
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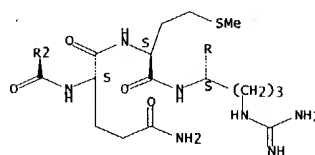
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PAGE 2-A



PAGE 3-A



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1

ACCESSION NUMBER: 141:237763 CA Full-text  
TITLE: Protein 158P1D7 as a marker and target for  
the diagnosis and treatment of bladder,  
prostate, colon,  
lung, breast, cervical and ovarian cancer  
INVENTOR(S): Jakobovits, Aya; Morrison, Robert Kendall;  
Raitano, Arthur B.; Challita-Eid, Pia M.; Perez-  
Villar, Juan J.; Meyrick Morrison, Karen Jane; Faris,  
Mary; Ge, Wangmao; Gudas, Jean; Kanner, Steven B.  
PATENT ASSIGNEE(S): Agensys, Inc., USA; et al.

SOURCE: PCT Int. Appl., 290 pp.  
DOCUMENT TYPE: CODEN: PIXXD2  
LANGUAGE: Patent  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION: English

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004072263	A2	20040826	WO 2004-US3984	20040210
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PRIORITY APPLN. INFO.: US 2003-446633P 20030210

L28 ANSWER 2 OF 48 REGISTRY COPYRIGHT 2004 ACS on STN  
RN 741262-40-8 REGISTRY  
CN L-Lysine, L-glutaminy-L-alanyl-L-α-glutamyl-L-leucyl-L-α-aspartyl-L-asparaginy-L-lysyl-L-tyrosyl-L-alanylglycyl-L-lysylglycyl-L-tyrosyl-L-lysyl-L-leucylglycyl-L-seryl- (9CI) (CA INDEX NAME)  
OTHER NAMES:  
CN 5: PN: WO2004069163 SEQID: 5 claimed sequence  
FS PROTEIN SEQUENCE; STEREOSEARCH  
MF C87 H140 N24 O28  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER  
DT.CA Caplus document type: Patent  
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.

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1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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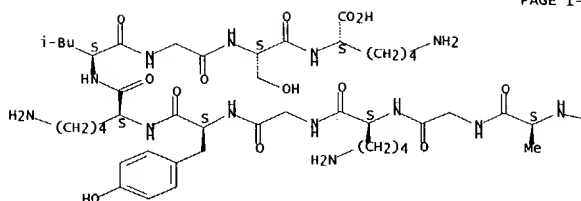
ACCESSION NUMBER: 141:205666 CA Full-text  
TITLE: Cloning, sequencing and serotype analysis of cross-protective CopB protein epitopes of Moraxella catarrhalis and use in immunization  
INVENTOR(S): Liu, Dai-Fang; McMichael, John Calhoun;  
Baker, Steven  
PATENT ASSIGNEE(S): Morris; Fletcher, Leah Diane  
SOURCE: Wyeth Holdings Corporation, USA  
PCT Int. Appl., 82 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

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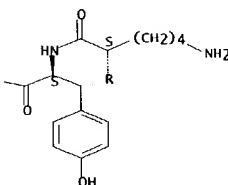
PRIORITY APPLN. INFO.: US 2003-443600P 20030130

L28 ANSWER 3 OF 48 REGISTRY COPYRIGHT 2004 ACS on STN  
RN 721941-88-4 REGISTRY  
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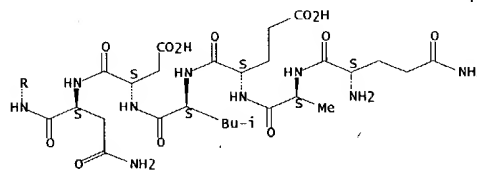
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PAGE 1-B



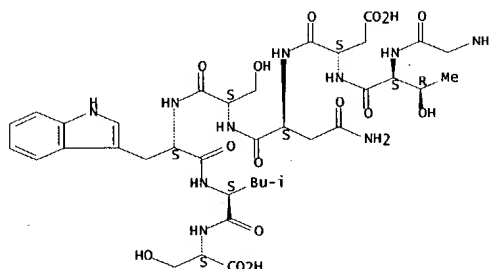
PAGE 2-A



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

FS PROTEIN SEQUENCE; STEREOSEARCH  
MF C37 H54 N10 O15  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER  
DT.CA Caplus document type: Patent  
RL.P Roles from patents: PRP (Properties)

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

#### REFERENCE 1

ACCESSION NUMBER: 141:122325 CA Full-text  
TITLE: MHC class I restricted T-cell stimulating peptides for diagnosis and therapy of hepatitis B virus infection  
INVENTOR(S): Lasters, Ignace; Desmet, Johan; Stegmann, Toon;  
PATENT ASSIGNEE(S): Castelein, Bernard  
SOURCE: Algonomics N.V., Belg.  
PCT Int. Appl., 108 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2004058807 A2 20040715 WO 2003-EP13948 20031209  
 WO 2004058807 A3 20040930  
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 PRIORITY APPLN. INFO.: EP 2002-447276 20021224

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 8.40

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FILE COVERS 1907 - 25 Oct 2004 VOL 141 ISS 18  
 FILE LAST UPDATED: 24 Oct 2004 (20041024/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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 L29 93 L28

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 L28 48 S L27 SAM

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 (PD<20010611)  
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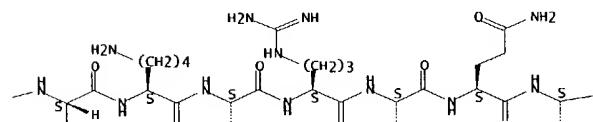
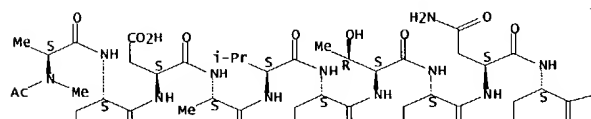
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 ACCESSION NUMBER: 1997:622336 HCAPLUS Full-text  
 DOCUMENT NUMBER: 127:288307  
 TITLE: Comparison of cyclic and linear analogs of vasoactive  
 intestinal peptide  
 AUTHOR(S): Bolin, David R.; Cottrell, Jeanine; Garippa, Ralph;  
 Rinaldi, Nancy; Senda, Ryuko; Simko, Beverly;  
 O'donnell, Margaret  
 CORPORATE SOURCE: Roche Research Center, Hoffmann-La Roche, Inc.,  
 Nutley, NJ, 07110, USA  
 SOURCE: Drug Design and Discovery (1996), 13(3-4), 107-114  
 CODEN: DDDIEV; ISSN: 1055-9612  
 PUBLISHER: Harwood  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 IT 136449-35-9  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological)

study, unclassified); PRP (Properties); BIOL (Biological study)  
 (vasoactive intestinal peptide cyclic and linear analog bio-  
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 RN 136449-35-9 HCAPLUS  
 CN Vasoactive intestinal octacosapeptide (swine), 1-(N-acetyl-N-methyl-L-alanine)-12-L-lysine-17-L-norleucine-19-L-alanine-26-L-valine-28-L-threoninamide- (9CI) (CA INDEX NAME)  
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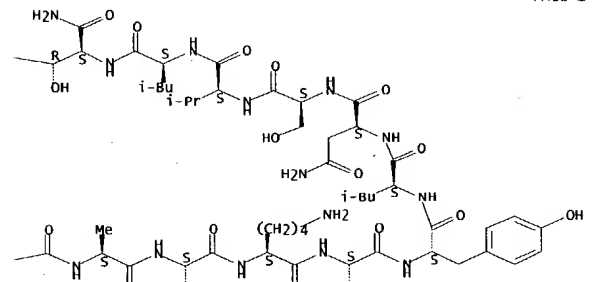
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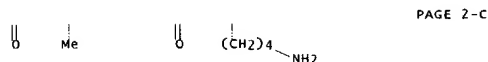
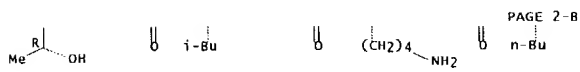
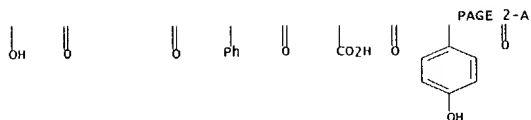


PAGE 1-B

Me

PAGE 1-C





AB A series of  $i \rightarrow i + 4$  side-chain to side-chain lactam analogs of vasoactive intestinal peptide has been prepared in order to study the effect of cyclization on biol. activity. In vitro, on guinea pig tracheal smooth muscle and on human bronchial tissue, approx. half of the cyclic analogs showed increased potency and half were decreased over the linear analogs. Several cyclic compds. were between 10- and 20-fold more potent and one was 290-fold more potent than the linear species. In vivo, in guinea pigs, the cyclic compds. showed increased potency by up to 70-fold and significantly enhanced duration of action as compared to linear compds.

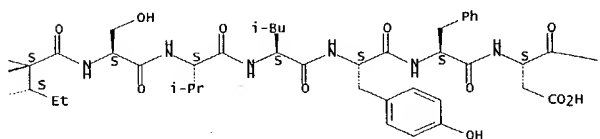
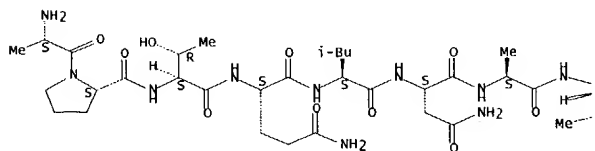
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L31 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 1997:168534 HCAPLUS Full-text  
DOCUMENT NUMBER: 126:153178  
TITLE: Single-chain analogs of the TGF- $\beta$   
superfamily (morphons) prepared as fusion products human  
protein domains and their therapeutic uses  
INVENTOR(S): Keck, Peter C.; Smart, John E.  
PATENT ASSIGNEE(S): Creative Biomolecules, Inc., USA  
SOURCE: PCT Int. Appl., 132 pp.

phenylalanyl-L- $\alpha$ -aspartyl-L- $\alpha$ -aspartyl-L-seryl-L-seryl-L-  
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INDEX NAME)

Absolute stereochemistry.

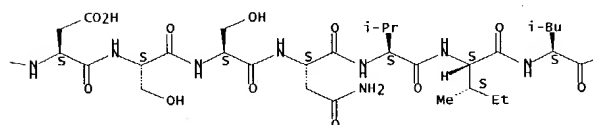
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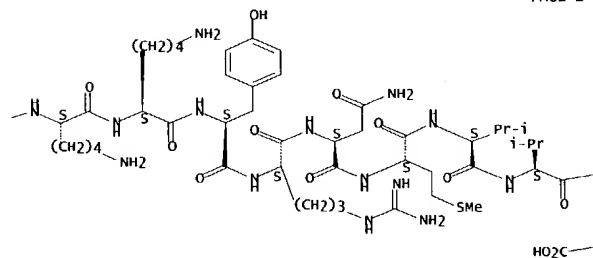
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LANGUAGE: Patent  
FAMILY ACC. NUM. COUNT: English  
PATENT INFORMATION: 1

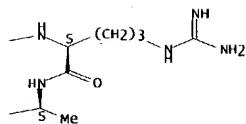
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CA 2223292	AA	19961219	CA 1996-2223292
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AU 9661570	A1	19961230	AU 1996-61570
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20000629			
US 2003176667	A1	20030918	US 2002-187394
20020628			
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19950607			
19960606			AU 1996-61570 A3
19960606			WO 1996-US9293 W
20000202			US 2000-496398 A1
IT 186378-32-5DP, fusion products			
RI: BPN (Biosynthetic preparation); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (amino acid sequence; single-chain analogs of TGF- $\beta$ superfamily (morphons) prepared as fusion products human protein domains and their therapeutic uses)			
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CN L-Alanine, L-alanyl-L-prolyl-L-threonyl-L-glutamyl-L-leucyl-L-asparaginyl-L-alanyl-L-isoleucyl-L-seryl-L-valyl-L-leucyl-L-tyrosyl-L-			

PAGE 1-C



PAGE 1-D





AB Disclosed is a family of single-chain polypeptide constructs designed to agonize or mimic members of the TGF- $\beta$  superfamily by binding to a cell surface receptor complementary to the superfamily member. The single-chain constructs of the invention called "morphons" contain in a single biol. active subunit interacting finger and heel regions which together define a tertiary protein structure complementary to the ligand binding surface of a receptor that binds a TGF- $\beta$  superfamily member. Also disclosed are truncated versions of the morphon constructs. Methods are disclosed for making and using single-chain morphons that have binding affinity for predetd. receptors of the TGF- $\beta$  superfamily.

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5205 SUCCINYL  
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L33 5205 SUCCINYL  
(SUCCINYL OR SUCCINYL)

=> 133 and peptide  
318054 PEPTIDE  
232501 PEPTIDES  
407114 PEPTIDE  
(PEPTIDE OR PEPTIDES)  
L34 573 L33 AND PEPTIDE

=> 134 and protect?  
520390 PROTECT?  
L35 64 L34 AND PROTECT?  
=> d 135 1-3 ibib

L35 ANSWER 1 OF 64 HCAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 2004:223904 HCAPLUS Full-text  
TITLE: Polyethylene glycol-polyamidoamine dendritic micelle  
as a matrix for substrate protection against alpha-chymotrypsin-catalyzed hydrolysis  
AUTHOR(S): Yang, Hu; Lopina, Stephanie T.  
CORPORATE SOURCE: Department of Chemical Engineering and Engineering, The University of Akron, Akron, OH, 44325, USA  
SOURCE: Abstracts of Papers, 227th ACS National Meeting, Anaheim, CA, United States, March 28-April 1, 2004  
Society: (2004), COLL-301. American Chemical Washington, D. C.  
DOCUMENT TYPE: CODEN: 69FGKM  
LANGUAGE: Conference; Meeting Abstract English

L35 ANSWER 2 OF 64 HCAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 2003:792475 HCAPLUS Full-text  
DOCUMENT NUMBER: 139:360752  
TITLE: Extended Substrate Specificity of Rat Mast Cell  
Protease 5, a Rodent  $\alpha$ -Chymase with Elastase-like Primary Specificity  
AUTHOR(S): Karlsson, Ulrika; Pejler, Gunnar; Tomasini, Bianca; Hellman, Lars  
CORPORATE SOURCE: Department of Cell and Molecular Biology, The Biomedical Center, Uppsala University, Uppsala, SE-751 24, Swed.  
SOURCE: Journal of Biological Chemistry (2003), 278(41), 39625-39631  
PUBLISHER: CODEN: JBCHA3; ISSN: 0021-9258  
Molecular American Society for Biochemistry and Biology  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
REFERENCE COUNT: 39  
THERE ARE 39 CITED REFERENCES  
AVAILABLE FOR THIS

## RE FORMAT

## RECORD. ALL CITATIONS AVAILABLE IN THE

L35 ANSWER 3 OF 64 HCAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 2003:545682 HCAPLUS Full-text  
DOCUMENT NUMBER: 139:97659  
TITLE: Intramolecularly-quenched near infrared fluorescent probes for tumor imaging  
INVENTOR(S): Weissleder, Ralph; Tung, Ching-Hsuan;  
Mahmood, Umar;  
PATENT ASSIGNEE(S): Josephson, Lee; Bogdanov, Alexei  
SOURCE: The General Hospital Corporation, USA  
79,447. U.S., 21 pp., Cont.-in-part of U.S. Ser. No.  
DOCUMENT TYPE: CODEN: USXXAM  
LANGUAGE: Patent  
FAMILY ACC. NUM. COUNT: English  
PATENT INFORMATION: 3

DATE	PATENT NO.	KIND	DATE	APPLICATION NO.
20000627	US 6592847	B1	20030715	US 2000-604145
19980514	US 6083486	A	20000704	US 1998-79447
20010622	WO 2002000265	A1	20020103	WO 2001-US19941

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  
US 2003219383 A1 20031127 US 2003-360890  
20030207  
PRIORITY APPLN. INFO.: US 1998-79447 A2  
19980514 US 2000-604145 A2  
20000627  
REFERENCE COUNT: 28  
THERE ARE 28 CITED REFERENCES  
AVAILABLE FOR THIS  
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